Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxm1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 02	LMEDLINE coverage updated
NEWS 3	JUL 02	SCISEARCH enhanced with complete author names
NEWS 4	JUL 02	CHEMCATS accession numbers revised
NEWS 5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 6	JUL 16	CAplus enhanced with French and German abstracts
NEWS 7	JUL 18	CA/CAplus patent coverage enhanced
NEWS 8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9	JUL 30	USGENE now available on STN
NEWS 10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 11	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 12	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 13	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15	AUG 27	USPATOLD now available on STN
NEWS 16	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18	SEP 13	FORIS renamed to SOFIS
NEWS 19	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 20	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 21	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 22	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24	OCT 19	BEILSTEIN updated with new compounds

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:16:46 ON 06 NOV 2007

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.52	2.52

FILE 'REGISTRY' ENTERED AT 08:23:39 ON 06 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 NOV 2007 HIGHEST RN 952474-38-3
DICTIONARY FILE UPDATES: 5 NOV 2007 HIGHEST RN 952474-38-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

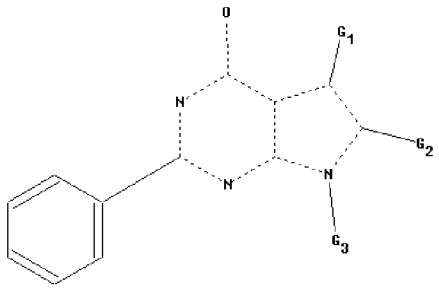
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

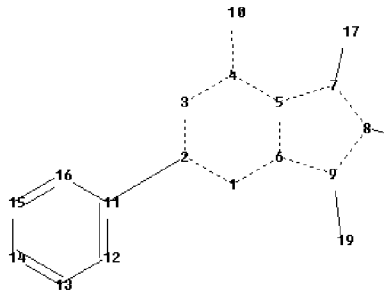
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10559516.str





chain nodes :
10 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
2-11 4-10 7-17 8-18 9-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-10 5-6 5-7 6-9 7-8 7-17 8-9 8-18 9-19
exact bonds :
2-11
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

G1:H,Hy,Ak

G2:H,Cb,Ak

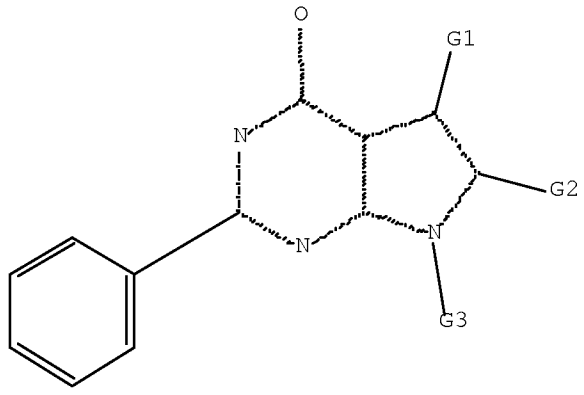
G3:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

=> sd l1
SD IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Hy,Ak
G2 H,Cb,Ak
G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 08:24:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 37 TO ITERATE

100.0% PROCESSED 37 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 376 TO 1104
PROJECTED ANSWERS: 5 TO 233

L2 5 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:24:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 702 TO ITERATE

100.0% PROCESSED 702 ITERATIONS 144 ANSWERS
SEARCH TIME: 00.00.01

L3 144 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 174.62

FILE 'CAPLUS' ENTERED AT 08:24:19 ON 06 NOV 2007

FILE COVERS 1907 - 6 Nov 2007 VOL 147 ISS 20
FILE LAST UPDATED: 5 Nov 2007 (20071105/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 17 L3

```
=> s l4 not (2007/so or 2006/so or 2005/so)
        681070 2007/SO
        901170 2006/SO
        879362 2005/SO
L5          17 L4 NOT (2007/SO OR 2006/SO OR 2005/SO)

=> d l5 ibib hitstr abs 1-17

L5   ANSWER 1 OF 17  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2005:316276  CAPLUS  Full-text
DOCUMENT NUMBER:         142:392424
TITLE:                   Preparation of aminopyrrolopyrimidines as adenosine A1
                         receptor antagonists.
INVENTOR(S):             Castelhano, Arlindo L.; McKibben, Bryan; Witter, David
                         J.
PATENT ASSIGNEE(S):      OSI Pharmaceuticals, Inc., USA
SOURCE:                  U.S., 66 pp., Cont.-in-part of Appl. No.
                         PCT/US99/12135.
                         CODEN: USXXAM
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  4
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
     US 6878716           B1    20050412    US 1999-454074          19991202
     WO 9962518           A1    19991209    WO 1999-US12135         19990601
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2393179           A1    20010607    CA 2000-2393179         20001201
     WO 2001039777        A1    20010607    WO 2000-US32702         20001201
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1246623           A1    20021009    EP 2000-988011          20001201
     EP 1246623           B1    20060809
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003519102        T     20030617    JP 2001-541509          20001201
     AU 784878            B2    20060713    AU 2001-24270           20001201
     AT 335489            T     20060915    AT 2000-988011          20001201
     EP 1731520           A1    20061213    EP 2006-16543           20001201
         R:  AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
             NL, PT, SE, TR, AL, LT, LV, MK, RO, SI
     ES 2269217           T3    20070401    ES 2000-988011          20001201
     ZA 2002004153        A     20040715    ZA 2002-4153            20020524
```

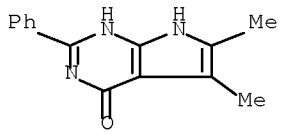
MX 2002PA05357	A	20030519	MX 2002-PA5357		20020529
IN 2002DN00621	A	20070525	IN 2002-DN621		20020619
HK 1050319	A1	20070404	HK 2003-102257		20030328
PRIORITY APPLN. INFO.:			US 1998-87702P	P	19980602
			US 1999-123216P	P	19990308
			US 1999-126527P	P	19990326
			WO 1999-US12135	A2	19990601
			US 1999-454074	A	19991202
			US 1999-454075	A	19991202
			US 1999-454254	A	19991202
			EP 2000-988011	A3	20001201
			WO 2000-US32702	W	20001201

OTHER SOURCE(S): MARPAT 142:392424

IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-70-0P 251946-91-5P 251946-92-6P
251946-93-7P 251946-95-9P 251946-96-0P
251947-04-3P 251947-05-4P 251947-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminopyrrolopyrimidines as adenosine A1 receptor antagonists)

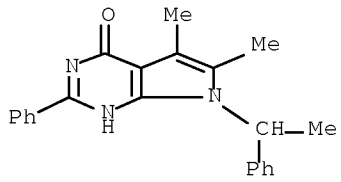
RN 177499-64-8 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



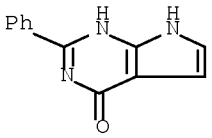
RN 177499-65-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



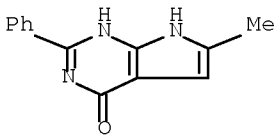
RN 251946-69-7 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



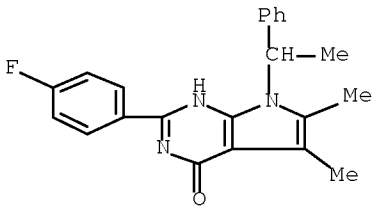
● HCl

RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

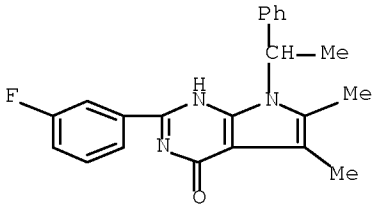


● HCl

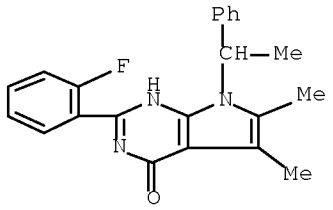
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



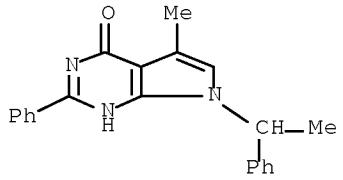
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



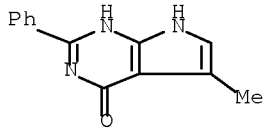
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



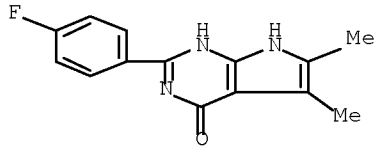
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



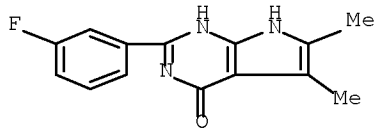
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



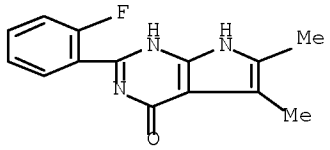
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



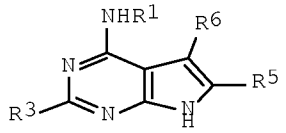
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



I

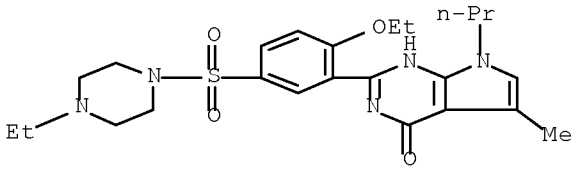
AB Title compds. [I; R1 = trans-4-hydroxycyclohexyl, 2-methylaminocarbonylaminocyclohexyl, acetylaminoethyl, methylaminocarbonylaminoethyl; R3 = (substituted) Ph, pyrrolyl, thienyl, furyl, thiazolyl, imidazolyl, pyrazolyl, pyrazinyl, purinyl, quinazolinyl, etc.; R5 = H, (substituted) alkyl, amino, Ph, pyrrolyl, furyl, thienyl, imidazolyl, benzoxazolyl, benzothiazolyl, triazolyl, tetrazolyl, pyrazolyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, naphthyl, quinolyl, indolyl, etc.; R6 = H, (substituted) alkyl, cycloalkyl], were prepared Thus, 4-chloro-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine and trans-4-hydroxycyclohexylamine were heated in Me2SO at 130° for 5 h to give 75% 4-(4-trans-hydroxycyclohexyl)amino-6-methyl-2-phenyl-7H- pyrrolo[2,3-d]pyrimidine. I showed A1 receptor binding with Ki = 2.3-75000 nM.

REFERENCE COUNT: 120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1080906 CAPLUS Full-text
DOCUMENT NUMBER: 142:38283
TITLE: Preparation of pyrrolopyrimidinone derivatives as phosphodiesterase inhibitors
INVENTOR(S): Wang, Yongfeng; Zhao, Kejun
PATENT ASSIGNEE(S): Tianjin Tasly Group Co., Ltd., Peop. Rep. China; Tianjin North Pharma Sci-Tech Co., Ltd
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

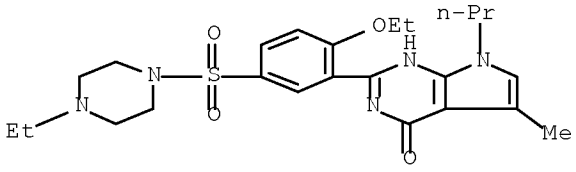
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004108726	A1	20041216	WO 2004-CN487		20040514
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CN 1552714	A	20041208	CN 2003-142399		20030606
CA 2528008	A1	20041216	CA 2004-2528008		20040514
EP 1634883	A1	20060315	EP 2004-732925		20040514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004011402	A	20061031	BR 2004-11402		20040514
MX 2005PA13176	A	20060623	MX 2005-PA13176		20051206
IN 2006CN00001	A	20070223	IN 2006-CN1		20060102
US 2006173025	A1	20060803	US 2006-559516		20060327
PRIORITY APPLN. INFO.:			CN 2003-142399	A	20030606
			WO 2004-CN487	W	20040514

OTHER SOURCE(S): CASREACT 142:38283; MARPAT 142:38283
IT 804519-64-0P 804520-62-5P 804520-72-7P
804520-76-1P 804520-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrimidinone derivs. as phosphodiesterase inhibitors)
RN 804519-64-0 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



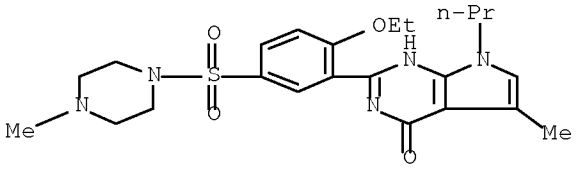
● HCl

RN 804520-62-5 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



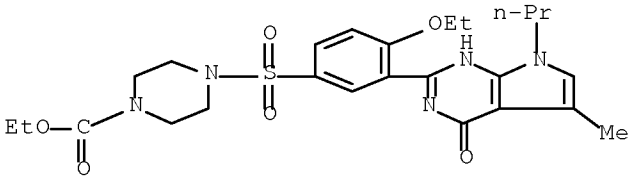
●2 HCl

RN 804520-72-7 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



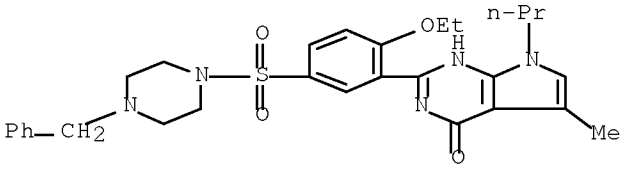
●2 HCl

RN 804520-76-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

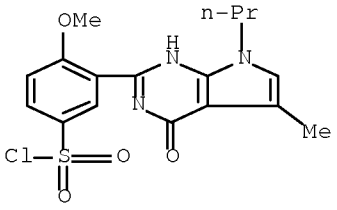
RN 804520-98-7 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



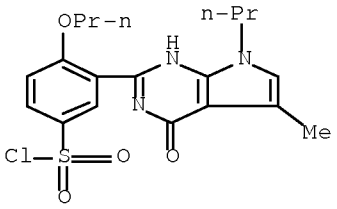
●2 HCl

IT 804519-30-0 804519-31-1 804519-33-3
804519-40-2 804519-46-8 804519-51-5
804519-63-9 804520-51-2 804520-58-9
804520-60-3 804547-99-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolopyrimidinone derivs. as phosphodiesterase inhibitors)

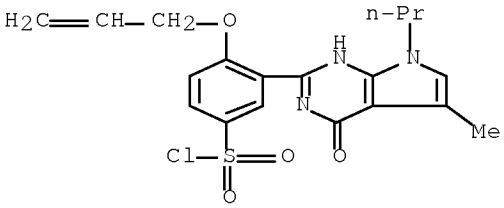
RN 804519-30-0 CAPLUS
CN Benzenesulfonyl chloride, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-methoxy- (9CI) (CA INDEX NAME)



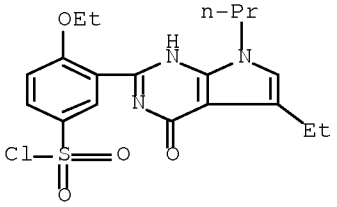
RN 804519-31-1 CAPLUS
CN Benzenesulfonyl chloride, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxy- (9CI) (CA INDEX NAME)



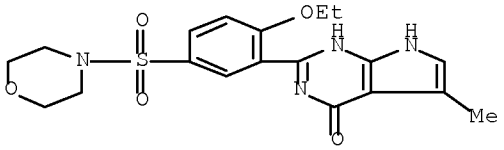
RN 804519-33-3 CAPLUS
CN Benzenesulfonyl chloride, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-(2-propenyloxy)- (9CI) (CA INDEX NAME)



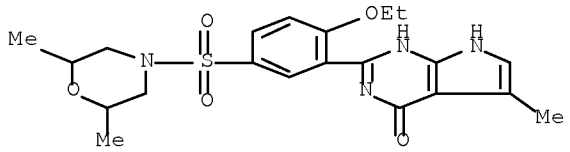
RN 804519-40-2 CAPLUS
CN Benzenesulfonyl chloride, 4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



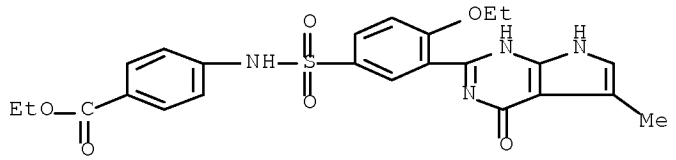
RN 804519-46-8 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



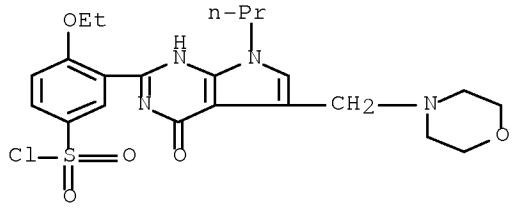
RN 804519-51-5 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



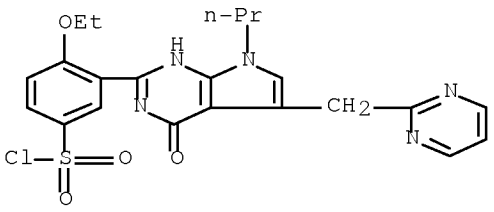
RN 804519-63-9 CAPLUS
CN Benzoic acid, 4-[[[3-(4,7-dihydro-5-methyl-4-oxo-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



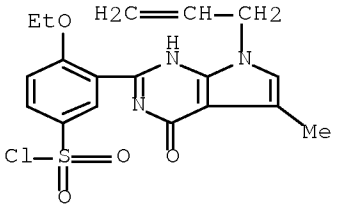
RN 804520-51-2 CAPLUS
CN Benzenesulfonyl chloride, 3-[4,7-dihydro-5-(4-morpholinylmethyl)-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxy- (9CI) (CA INDEX NAME)



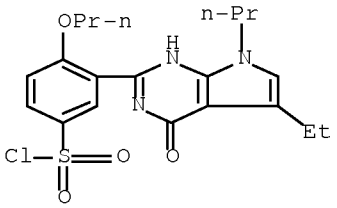
RN 804520-58-9 CAPLUS
CN Benzenesulfonyl chloride, 3-[4,7-dihydro-4-oxo-7-propyl-5-(2-pyrimidinylmethyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxy- (9CI) (CA INDEX NAME)



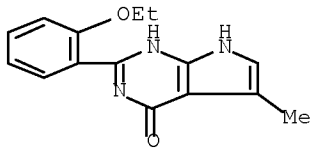
RN 804520-60-3 CAPLUS
CN Benzenesulfonyl chloride, 3-[4,7-dihydro-5-methyl-4-oxo-7-(2-propenyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxy- (9CI) (CA INDEX NAME)



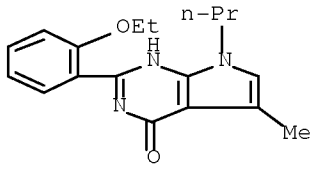
RN 804547-99-7 CAPLUS
CN Benzenesulfonyl chloride, 3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxy- (9CI) (CA INDEX NAME)



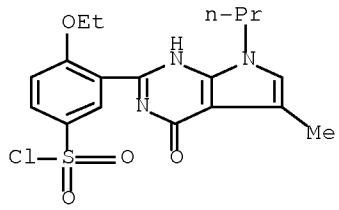
IT 804519-21-9P 804519-23-1P 804519-25-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyrimidinone derivs. as phosphodiesterase inhibitors)
RN 804519-21-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-ethoxyphenyl)-1,7-dihydro-5-methyl- (9CI) (CA INDEX NAME)



RN 804519-23-1 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-ethoxyphenyl)-1,7-dihydro-5-methyl-7-propyl- (9CI) (CA INDEX NAME)



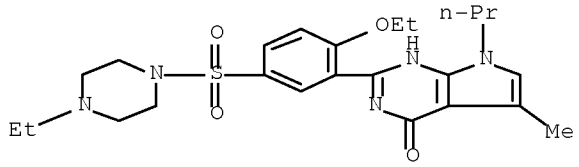
RN 804519-25-3 CAPLUS
CN Benzenesulfonyl chloride, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy- (9CI) (CA INDEX NAME)



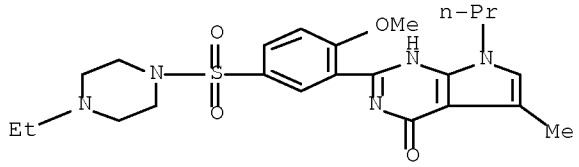
IT 804518-63-6P 804518-64-7P 804518-65-8P
804518-66-9P 804518-67-0P 804518-68-1P
804518-69-2P 804518-70-5P 804518-71-6P
804518-72-7P 804518-73-8P 804518-74-9P
804518-75-0P 804518-76-1P 804518-77-2P
804518-78-3P 804518-79-4P 804518-80-7P
804518-81-8P 804518-82-9P 804518-84-1P
804518-86-3P 804518-88-5P 804518-90-9P
804518-92-1P 804518-94-3P 804518-96-5P
804518-98-7P 804519-00-4P 804519-02-6P
804519-04-8P 804519-06-0P 804519-08-2P
804519-10-6P 804519-12-8P 804519-14-0P
804519-65-1P 804519-67-3P 804519-70-8P
804519-73-1P 804519-75-3P 804519-78-6P
804519-80-0P 804519-83-3P 804519-86-6P
804519-89-9P 804519-92-4P 804519-95-7P
804519-98-0P 804520-01-2P 804520-04-5P
804520-07-8P 804520-10-3P 804520-12-5P
804520-14-7P 804520-16-9P 804520-18-1P

804520-20-5P 804520-22-7P 804520-24-9P
804520-26-1P 804520-28-3P 804520-30-7P
804520-32-9P 804520-34-1P 804520-36-3P
804520-38-5P 804520-40-9P 804520-42-1P
804520-44-3P 804520-46-5P 804520-64-7P
804520-66-9P 804520-68-1P 804520-70-5P
804520-74-9P 804520-78-3P 804520-80-7P
804520-82-9P 804520-84-1P 804520-86-3P
804520-88-5P 804520-90-9P 804520-92-1P
804520-94-3P 804520-96-5P 804521-00-4P
804521-02-6P 804521-04-8P 804521-06-0P
804521-08-2P 804521-10-6P 804521-12-8P
804521-14-0P 804521-16-2P 804521-18-4P
804521-20-8P 804521-22-0P 804521-24-2P
804521-26-4P 804521-28-6P 804521-30-0P
804521-32-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrimidinone derivs. as phosphodiesterase inhibitors)

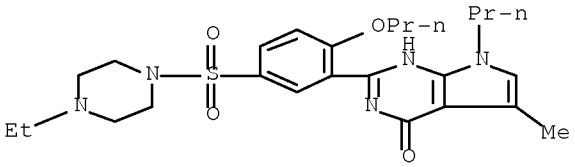
RN 804518-63-6 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



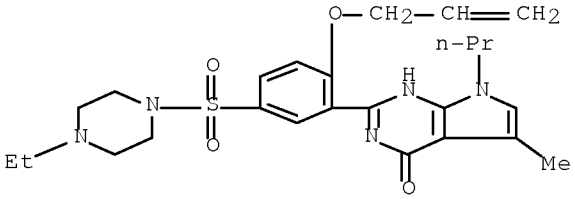
RN 804518-64-7 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-methoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



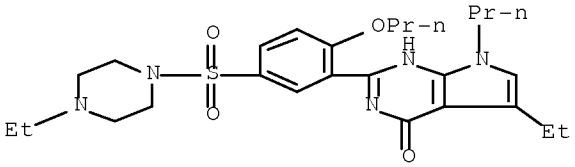
RN 804518-65-8 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



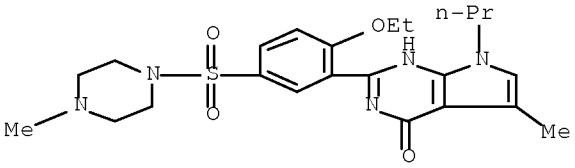
RN 804518-66-9 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-(2-propenyloxy)phenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



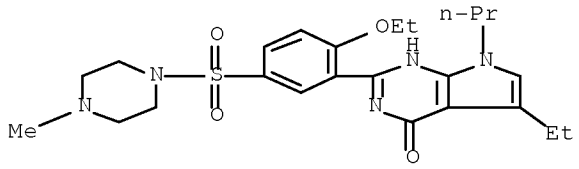
RN 804518-67-0 CAPLUS
CN Piperazine, 1-ethyl-4-[[3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



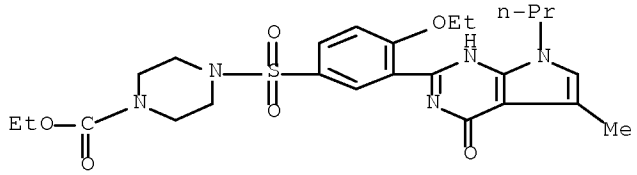
RN 804518-68-1 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



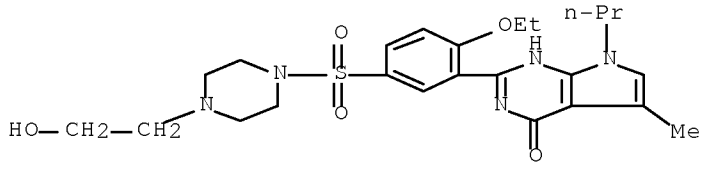
RN 804518-69-2 CAPLUS
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



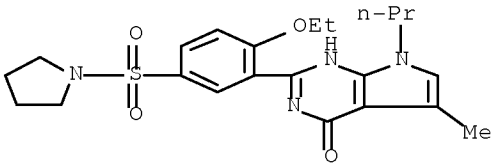
RN 804518-70-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



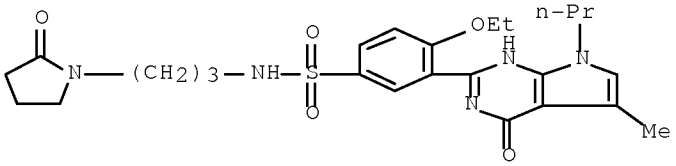
RN 804518-71-6 CAPLUS
CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



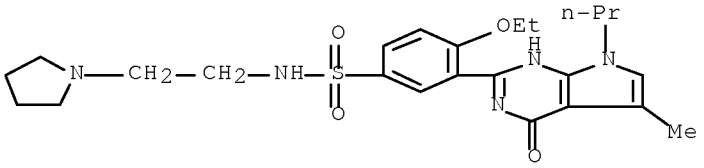
RN 804518-72-7 CAPLUS
CN Pyrrolidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



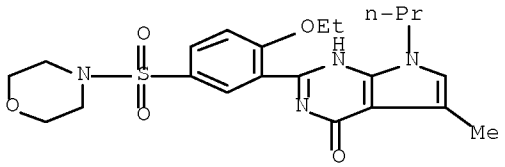
RN 804518-73-8 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 804518-74-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

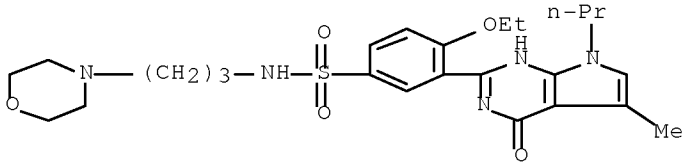


RN 804518-75-0 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)

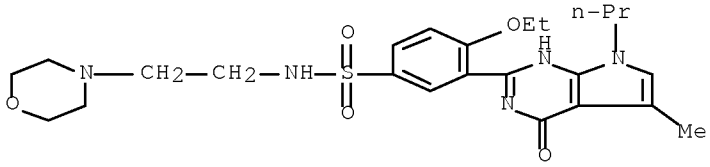


RN 804518-76-1 CAPLUS

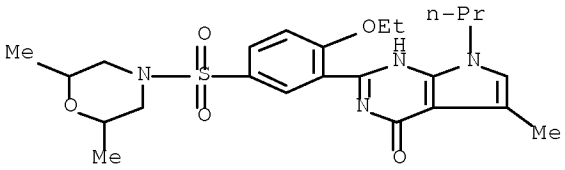
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



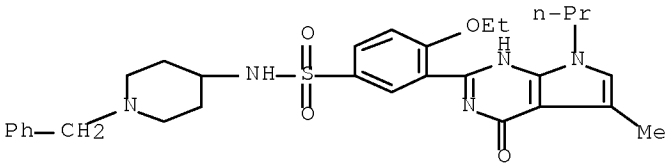
RN 804518-77-2 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



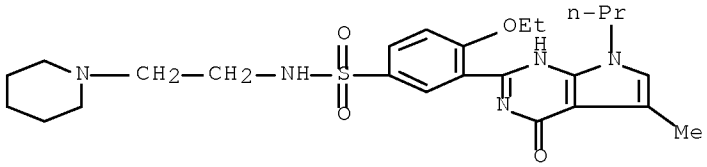
RN 804518-78-3 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



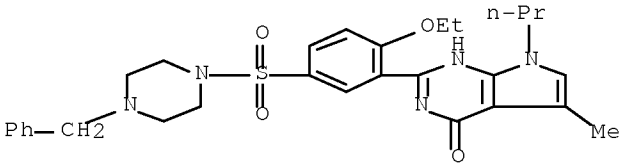
RN 804518-79-4 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



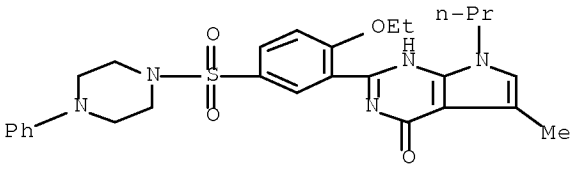
RN 804518-80-7 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



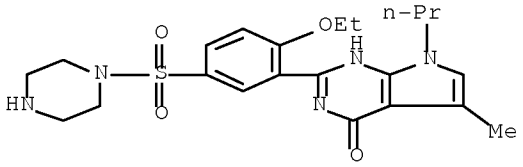
RN 804518-81-8 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



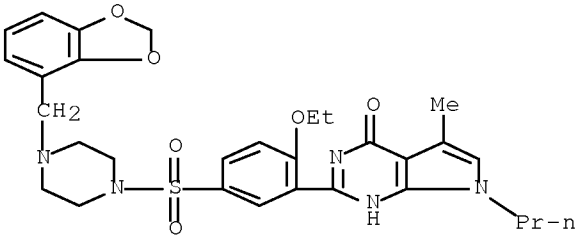
RN 804518-82-9 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-phenyl- (9CI) (CA INDEX NAME)



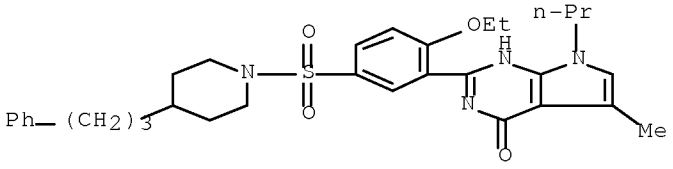
RN 804518-84-1 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



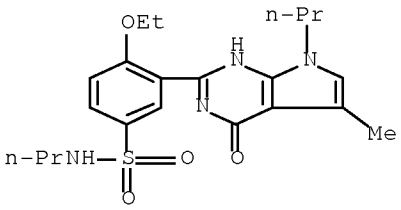
RN 804518-86-3 CAPLUS
CN Piperazine, 1-(1,3-benzodioxol-4-ylmethyl)-4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



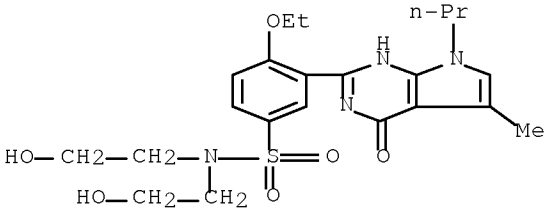
RN 804518-88-5 CAPLUS
CN Piperidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



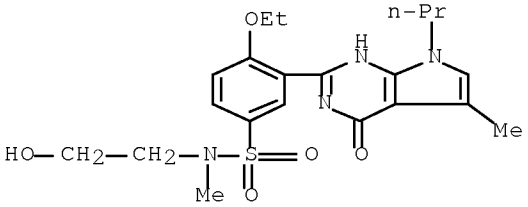
RN 804518-90-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-propyl- (9CI) (CA INDEX NAME)



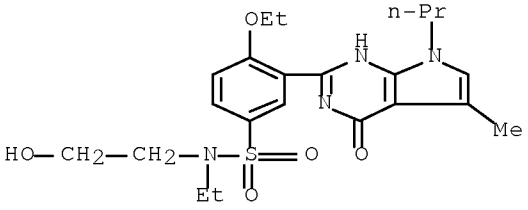
RN 804518-92-1 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N,N-bis(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



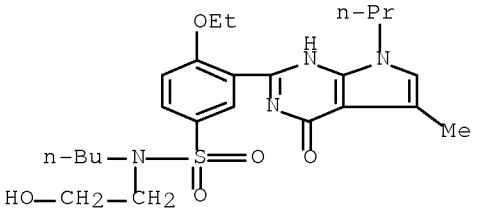
RN 804518-94-3 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



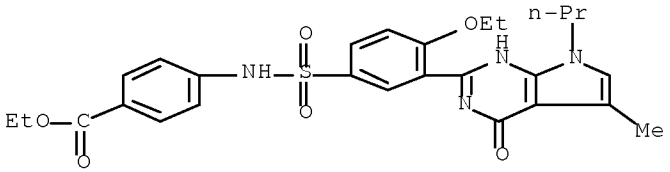
RN 804518-96-5 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-ethyl-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



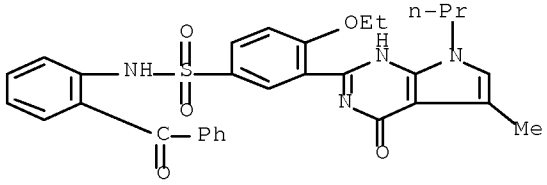
RN 804518-98-7 CAPLUS
CN Benzenesulfonamide, N-butyl-3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



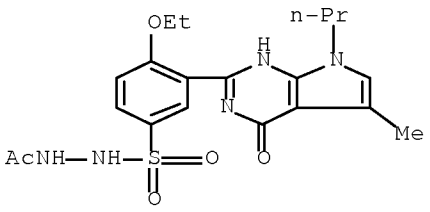
RN 804519-00-4 CAPLUS
CN Benzoic acid, 4-[[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



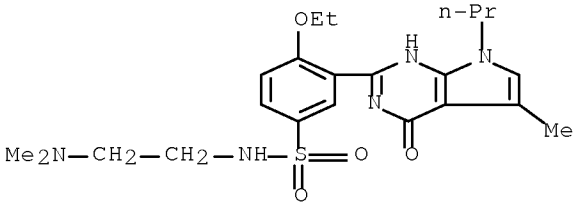
RN 804519-02-6 CAPLUS
CN Benzenesulfonamide, N-(2-benzoylphenyl)-3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy- (9CI) (CA INDEX NAME)



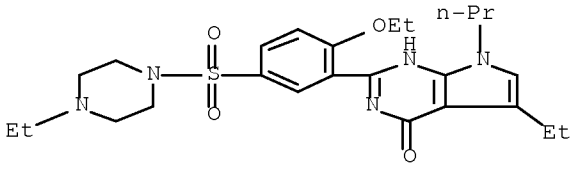
RN 804519-04-8 CAPLUS
CN Acetic acid, 2-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



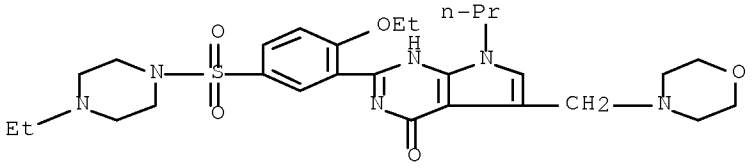
RN 804519-06-0 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-N-[2-(dimethylamino)ethyl]-4-ethoxy- (9CI) (CA INDEX NAME)



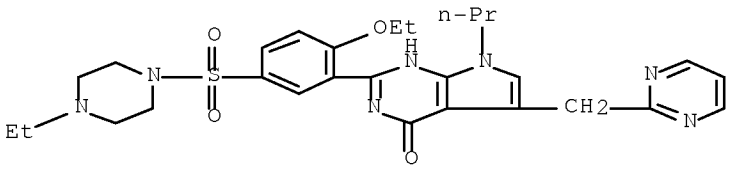
RN 804519-08-2 CAPLUS
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



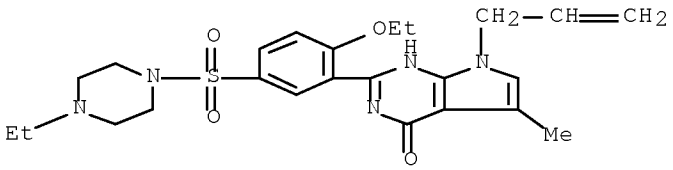
RN 804519-10-6 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-5-(4-morpholinylmethyl)-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



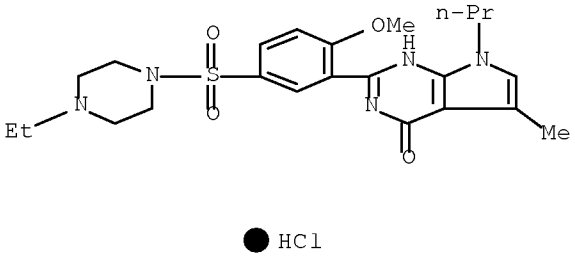
RN 804519-12-8 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-4-oxo-7-propyl-5-(2-pyrimidinylmethyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



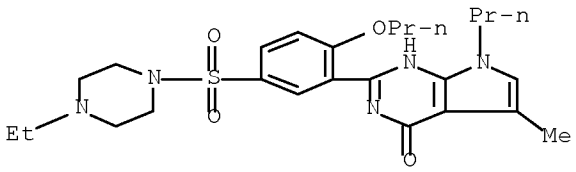
RN 804519-14-0 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-5-methyl-4-oxo-7-(2-propenyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 804519-65-1 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-methoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

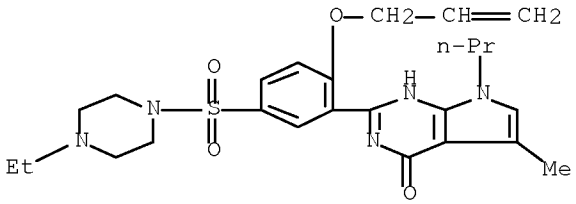


RN 804519-67-3 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



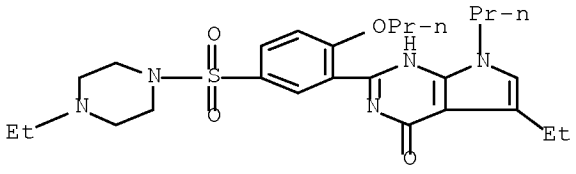
● HCl

RN 804519-70-8 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-(2-propenyloxy)phenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



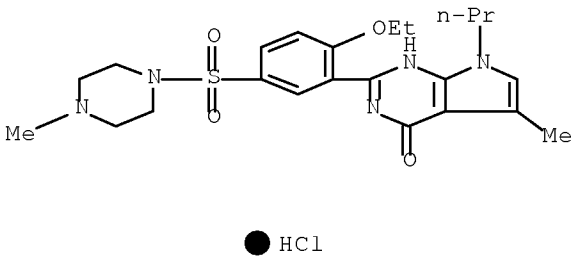
● HCl

RN 804519-73-1 CAPLUS
CN Piperazine, 1-ethyl-4-[[3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



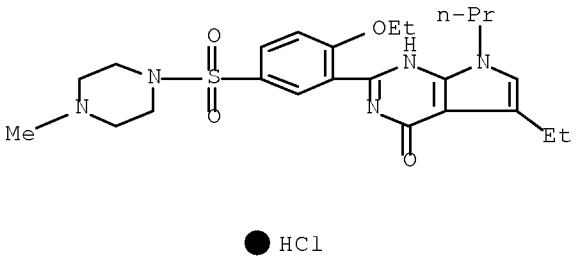
● HCl

RN 804519-75-3 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



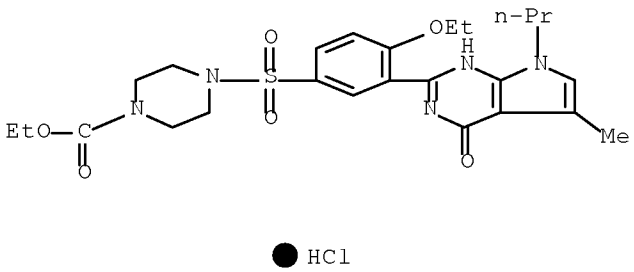
● HCl

RN 804519-78-6 CAPLUS
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



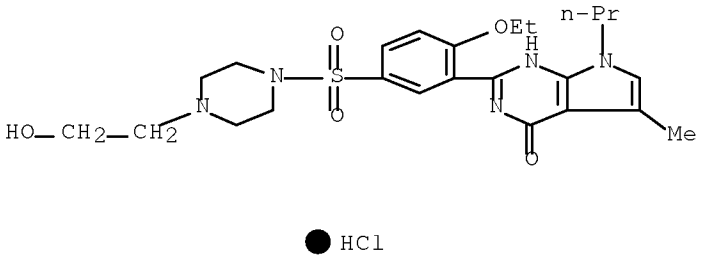
● HCl

RN 804519-80-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

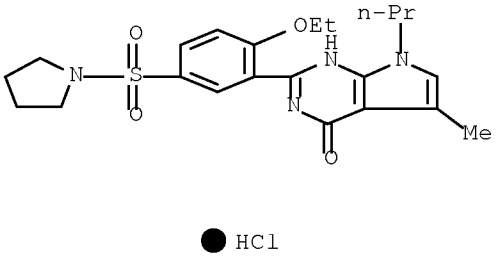


● HCl

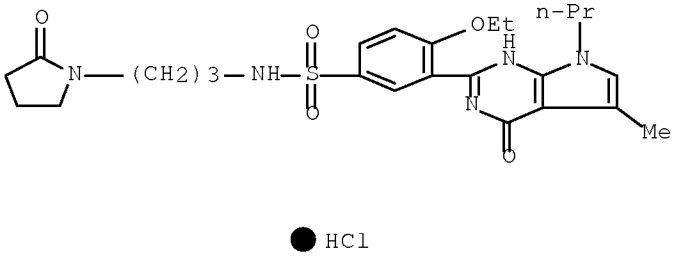
RN 804519-83-3 CAPLUS
CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



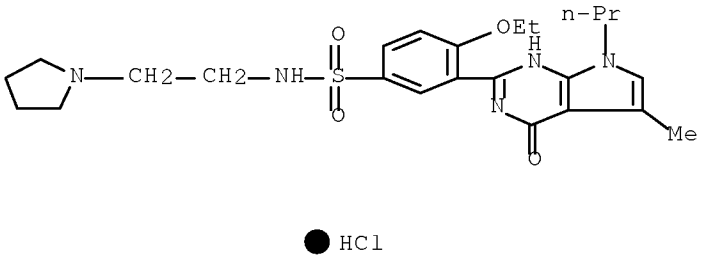
RN 804519-86-6 CAPLUS
CN Pyrrolidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 804519-89-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

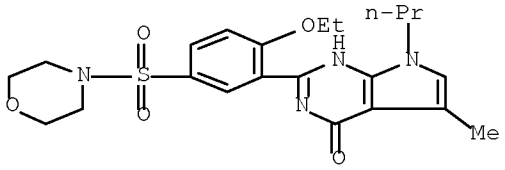


RN 804519-92-4 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



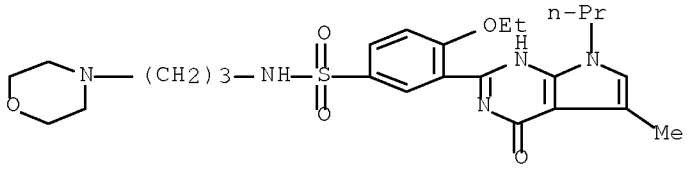
● HCl

RN 804519-95-7 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



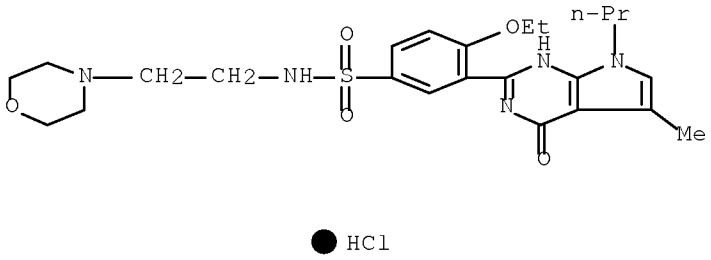
● HCl

RN 804519-98-0 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(4-morpholinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



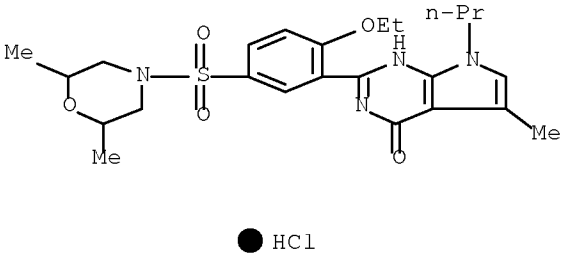
● HCl

RN 804520-01-2 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(4-morpholinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



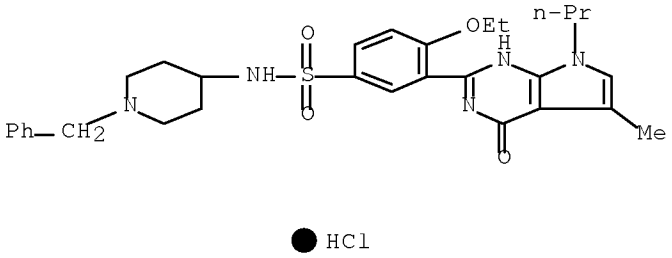
● HCl

RN 804520-04-5 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-2,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



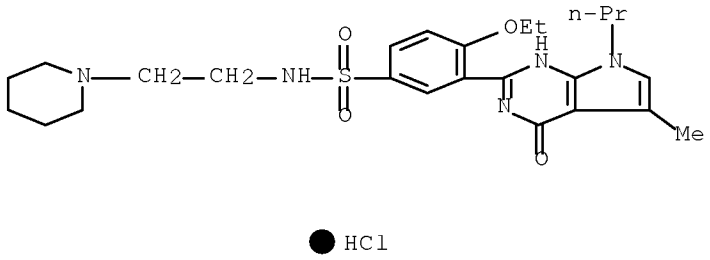
● HCl

RN 804520-07-8 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[1-(phenylmethyl)-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

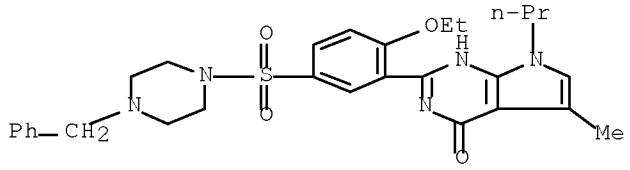


● HCl

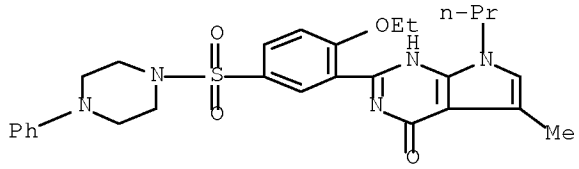
RN 804520-10-3 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-piperidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



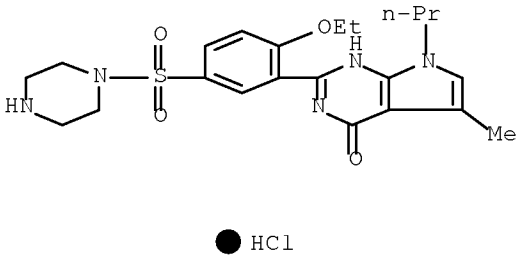
RN 804520-12-5 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 804520-14-7 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

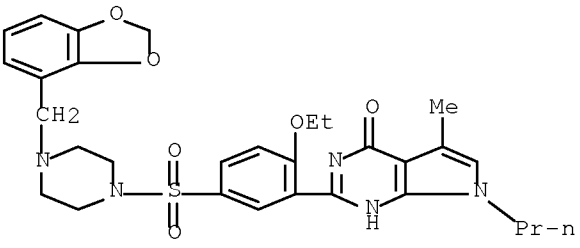


RN 804520-16-9 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



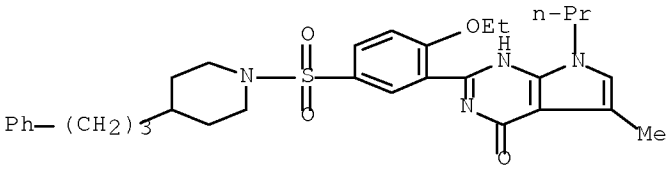
● HCl

RN 804520-18-1 CAPLUS
CN Piperazine, 1-(1,3-benzodioxol-4-ylmethyl)-4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



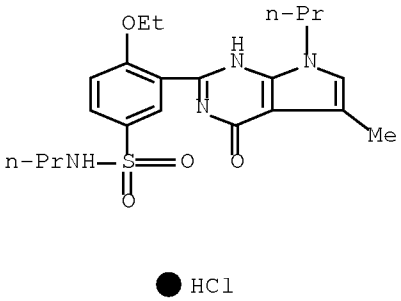
● HCl

RN 804520-20-5 CAPLUS
CN Piperidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

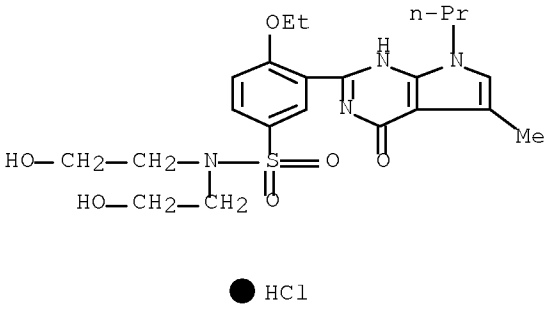


● HCl

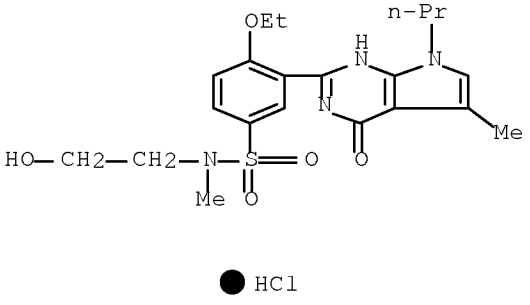
RN 804520-22-7 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 804520-24-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N,N-bis(2-hydroxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

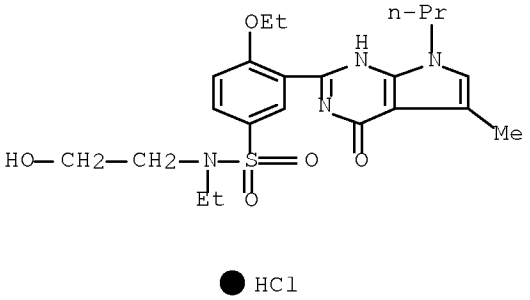


RN 804520-26-1 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

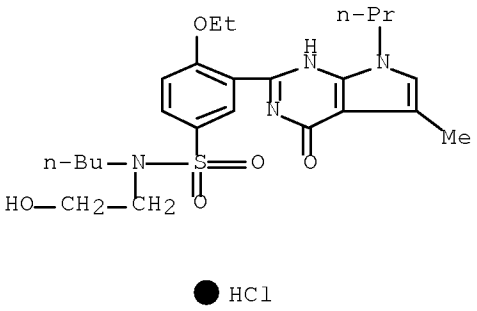


RN 804520-28-3 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-ethyl-N-(2-hydroxyethyl)-, monohydrochloride

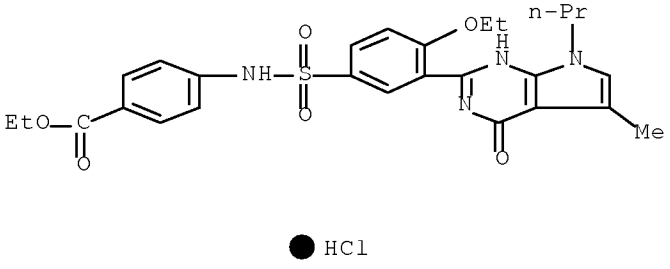
(9CI) (CA INDEX NAME)



RN 804520-30-7 CAPLUS
CN Benzenesulfonamide, N-butyl-3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

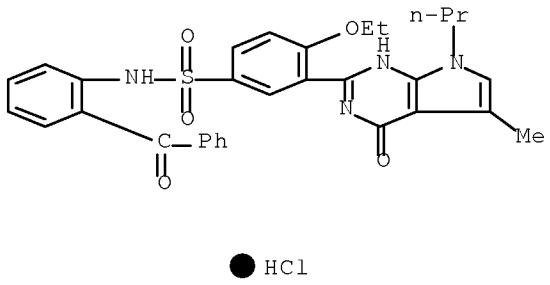


RN 804520-32-9 CAPLUS
CN Benzoic acid, 4-[[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



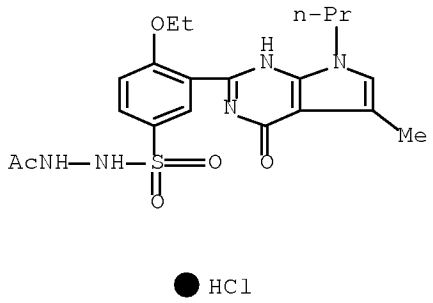
RN 804520-34-1 CAPLUS
CN Benzenesulfonamide, N-(2-benzoylphenyl)-3-(4,7-dihydro-5-methyl-4-oxo-7-

propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-, monohydrochloride (9CI) (CA INDEX NAME)



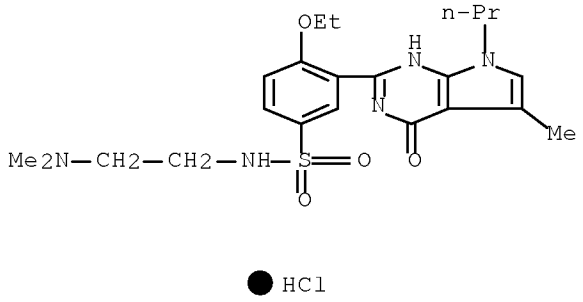
RN 804520-36-3 CAPLUS

CN Acetic acid, 2-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]hydrazide, monohydrochloride (9CI) (CA INDEX NAME)



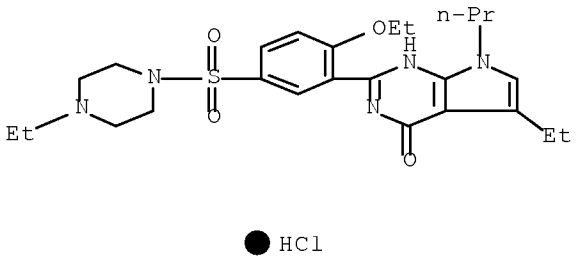
RN 804520-38-5 CAPLUS

CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-N-[2-(dimethylamino)ethyl]-4-ethoxy-, monohydrochloride (9CI) (CA INDEX NAME)

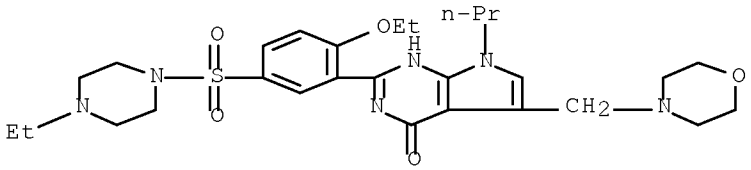


RN 804520-40-9 CAPLUS

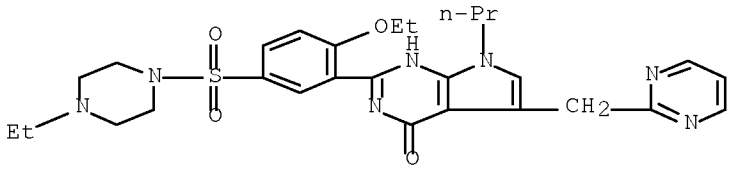
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 804520-42-1 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-5-(4-morpholinylmethyl)-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

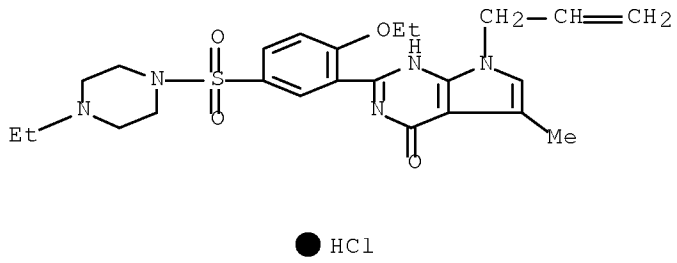


RN 804520-44-3 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-4-oxo-7-propyl-5-(2-pyrimidinylmethyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



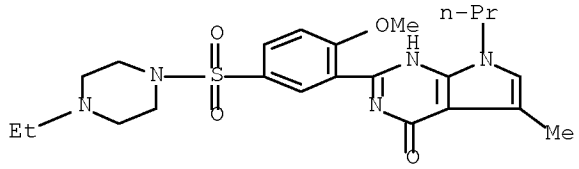
RN 804520-46-5 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-5-methyl-4-oxo-7-(2-propenyl)-1H-

pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



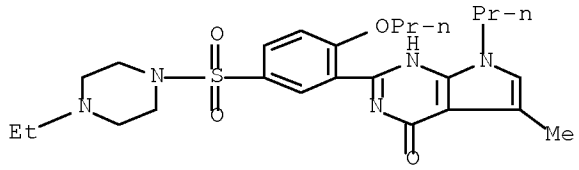
● HCl

RN 804520-64-7 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-methoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

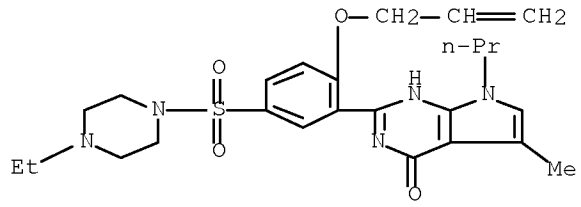
RN 804520-66-9 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

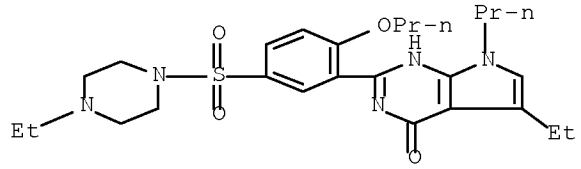
RN 804520-68-1 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-(2-propenyloxy)phenyl]sulfonyl]-4-ethyl-,

dihydrochloride (9CI) (CA INDEX NAME)



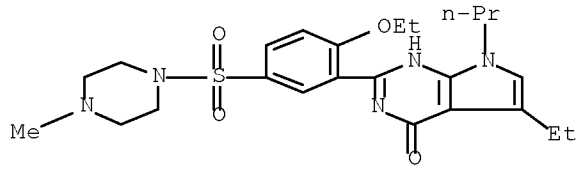
●2 HCl

RN 804520-70-5 CAPLUS
CN Piperazine, 1-ethyl-4-[[3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-propoxyphenyl]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

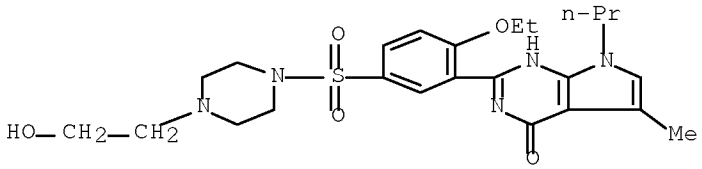
RN 804520-74-9 CAPLUS
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

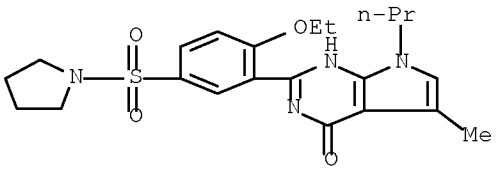
RN 804520-78-3 CAPLUS
CN 1-Piperazineethanol, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, dihydrochloride

(9CI) (CA INDEX NAME)



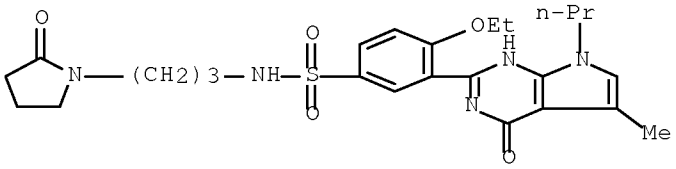
●2 HCl

RN 804520-80-7 CAPLUS
CN Pyrrolidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



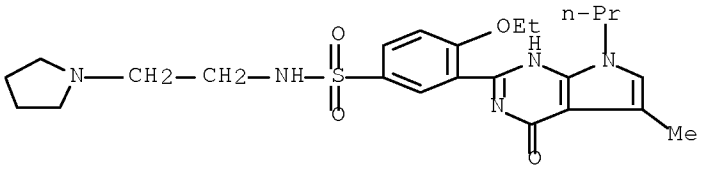
●2 HCl

RN 804520-82-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



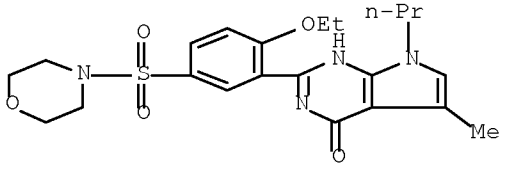
●2 HCl

RN 804520-84-1 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



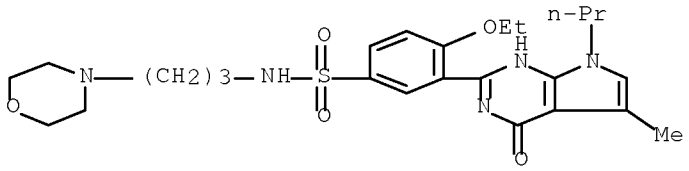
●2 HCl

RN 804520-86-3 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



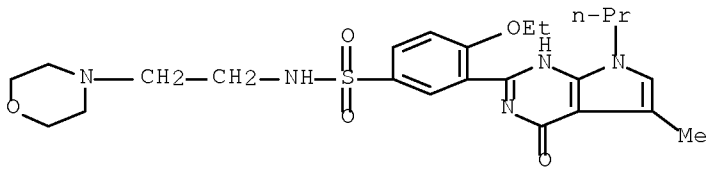
●2 HCl

RN 804520-88-5 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[3-(4-morpholinyl)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)



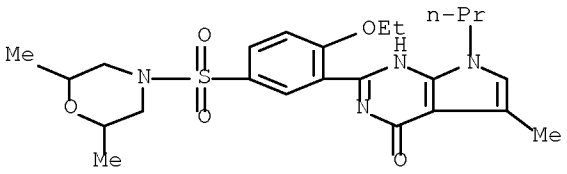
●2 HCl

RN 804520-90-9 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(4-morpholinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



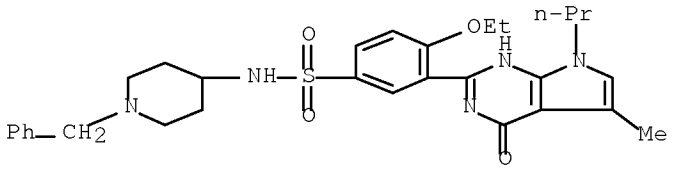
●2 HCl

RN 804520-92-1 CAPLUS
CN Morpholine, 4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-2,6-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



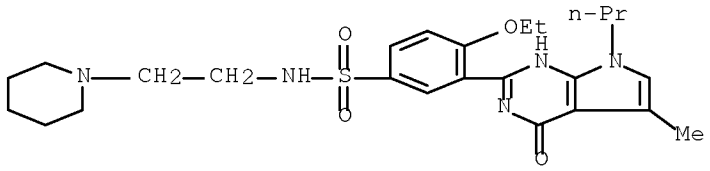
●2 HCl

RN 804520-94-3 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[1-(phenylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



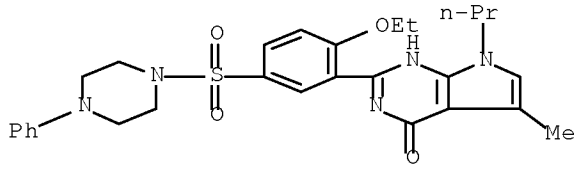
●2 HCl

RN 804520-96-5 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-[2-(1-piperidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



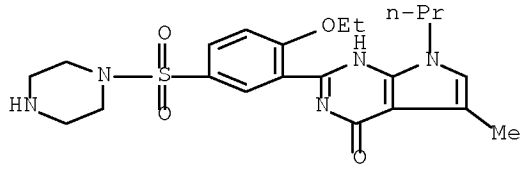
●2 HCl

RN 804521-00-4 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



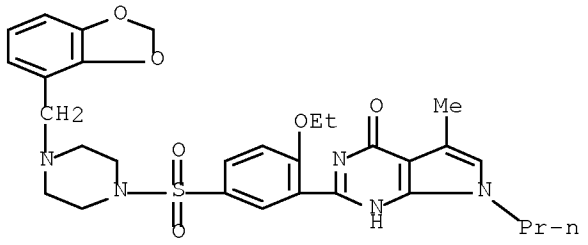
●2 HCl

RN 804521-02-6 CAPLUS
CN Piperazine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



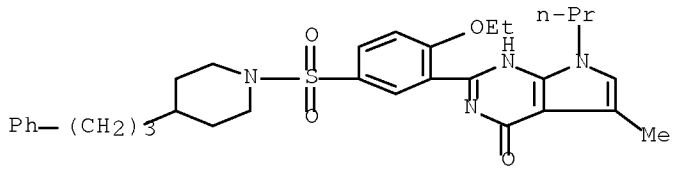
●2 HCl

RN 804521-04-8 CAPLUS
CN Piperazine, 1-(1,3-benzodioxol-4-ylmethyl)-4-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



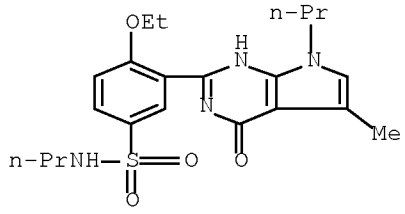
●2 HCl

RN 804521-06-0 CAPLUS
CN Piperidine, 1-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]-4-(3-phenylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)



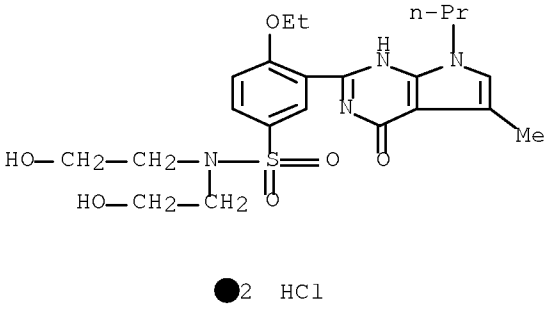
●2 HCl

RN 804521-08-2 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-propyl-, dihydrochloride (9CI) (CA INDEX NAME)

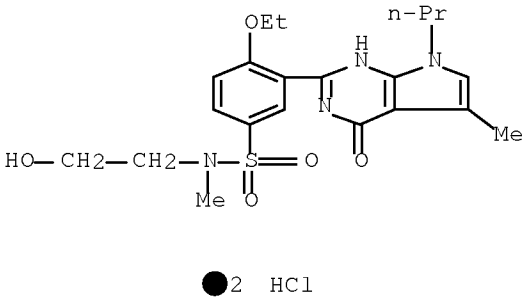


●2 HCl

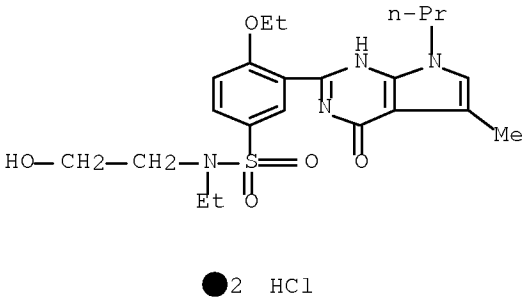
RN 804521-10-6 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N,N-bis(2-hydroxyethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



RN 804521-12-8 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

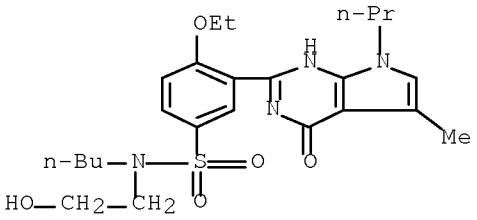


RN 804521-14-0 CAPLUS
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-ethyl-N-(2-hydroxyethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



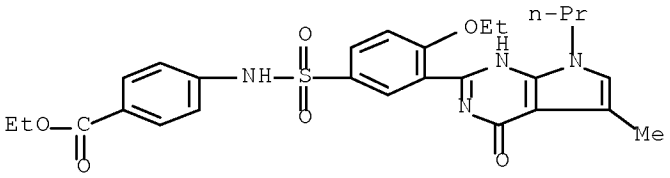
RN 804521-16-2 CAPLUS
CN Benzenesulfonamide, N-butyl-3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-

pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-N-(2-hydroxyethyl)-,
dihydrochloride (9CI) (CA INDEX NAME)



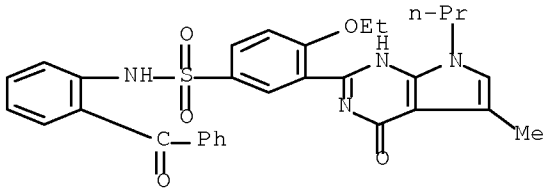
●2 HCl

RN 804521-18-4 CAPLUS
CN Benzoic acid, 4-[[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-
d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]amino]-, ethyl ester,
dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

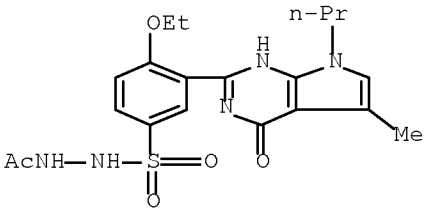
RN 804521-20-8 CAPLUS
CN Benzenesulfonamide, N-(2-benzoylphenyl)-3-(4,7-dihydro-5-methyl-4-oxo-7-
propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-4-ethoxy-, dihydrochloride (9CI)
(CA INDEX NAME)



●2 HCl

RN 804521-22-0 CAPLUS
CN Acetic acid, 2-[[3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-

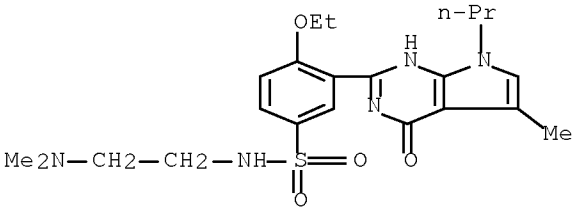
d]pyrimidin-2-yl)-4-ethoxyphenyl]sulfonyl]hydrazide, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 804521-24-2 CAPLUS

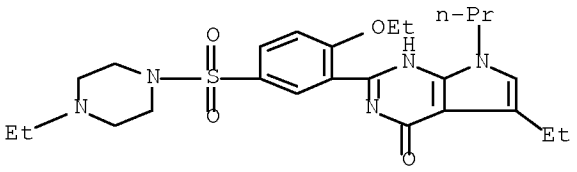
CN Benzenesulfonamide, 3-(4,7-dihydro-5-methyl-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)-N-[2-(dimethylamino)ethyl]-4-ethoxy-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 804521-26-4 CAPLUS

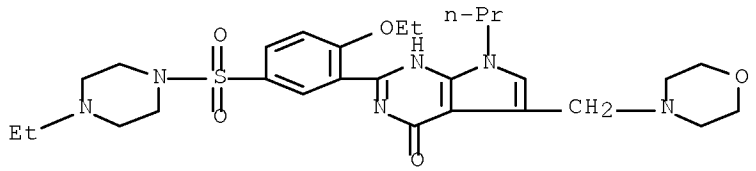
CN Piperazine, 1-[[4-ethoxy-3-(5-ethyl-4,7-dihydro-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl)phenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

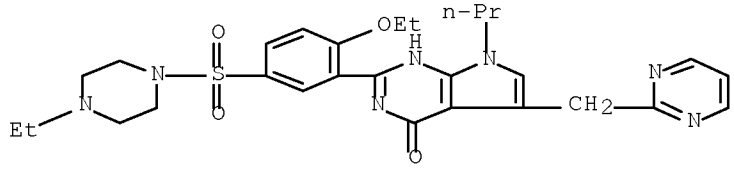
RN 804521-28-6 CAPLUS

CN Piperazine, 1-[[3-[4,7-dihydro-5-(4-morpholinylmethyl)-4-oxo-7-propyl-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



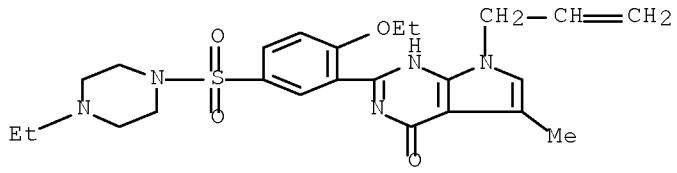
●2 HCl

RN 804521-30-0 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-4-oxo-7-propyl-5-(2-pyrimidinylmethyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



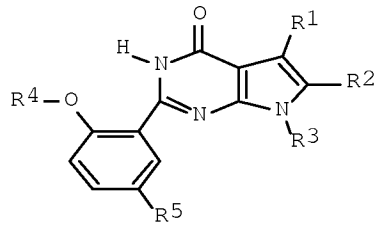
●2 HCl

RN 804521-32-2 CAPLUS
CN Piperazine, 1-[[3-[4,7-dihydro-5-methyl-4-oxo-7-(2-propenyl)-1H-pyrrolo[2,3-d]pyrimidin-2-yl]-4-ethoxyphenyl]sulfonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

GI



I

AB Title compds. I (R1 = H, alkyl, haloalkyl, alkenyl, alkynyl, etc; R2 = H, alkyl, haloalkyl, alkenyl, alkynyl, Ph, substituted Ph, etc.; R3, R4 = H, alkyl, cycloalkyl, alkoxy, alkenyl, alkynyl; R5 = H, alkyl, aminosulfonyl, etc.) and their salts, useful as phosphodiesterase 5 inhibitors and for treatment of sexual dysfunction of animals including human (male and female), especially erectile dysfunction of male, are prepared Thus, 2-[2-ethoxy-5-(4-ethylpiperazin-1-ylsulfonyl)phenyl]-5-methyl-7-propyl-3,7- dihydropyrrolo[2,3-d]pyrimidin-4-one monohydrochloride was prepared and showed PDE 5 inhibitor activity stronger than that of sildenafil.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:88297 CAPLUS Full-text

DOCUMENT NUMBER: 140:146159

TITLE: Preparation and use of substituted pyrrolo[2,3-d]pyrimidines as selective adenosine A3 receptor antagonists

INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: U.S., 71 pp., Cont.-in-part of Appl. No. PCT/US99/12135.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6686366	B1	20040203	US 1999-454075	19991202
WO 9962518	A1	19991209	WO 1999-US12135	19990601
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393179	A1	20010607	CA 2000-2393179	20001201
WO 2001039777	A1	20010607	WO 2000-US32702	20001201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1246623	A1	20021009	EP 2000-988011	20001201
EP 1246623	B1	20060809		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003519102	T	20030617	JP 2001-541509	20001201
AU 784878	B2	20060713	AU 2001-24270	20001201
AT 335489	T	20060915	AT 2000-988011	20001201
EP 1731520	A1	20061213	EP 2006-16543	20001201

R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI

ES 2269217	T3	20070401	ES 2000-988011	20001201
MX 2002PA05357	A	20030519	MX 2002-PA5357	20020529
HK 1050319	A1	20070404	HK 2003-102257	20030328

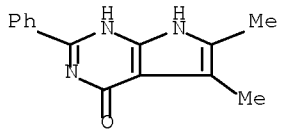
PRIORITY APPLN. INFO.:

US 1998-87702P	P	19980602
US 1999-123216P	P	19990308
US 1999-126527P	P	19990326
WO 1999-US12135	A2	19990601
US 1999-454074	A	19991202
US 1999-454075	A	19991202
US 1999-454254	A	19991202
EP 2000-988011	A3	20001201
WO 2000-US32702	W	20001201

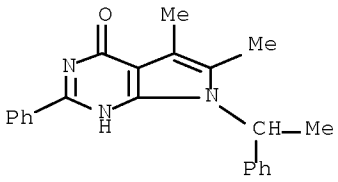
OTHER SOURCE(S): MARPAT 140:146159

IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-91-5P 251946-92-6P 251946-93-7P
251946-95-9P 251946-96-0P 251947-04-3P
251947-05-4P 251947-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of substituted 7H-pyrrolo[2,3-d]pyrimidines as selective adenosine A3 receptor antagonists)

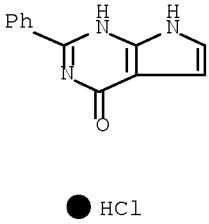
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



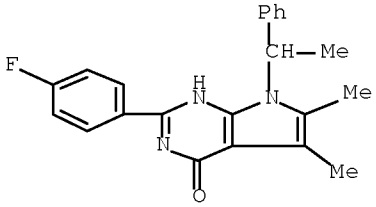
RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



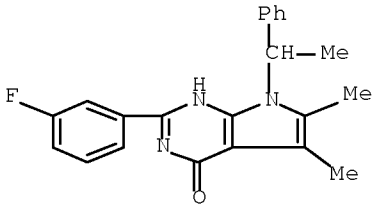
RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



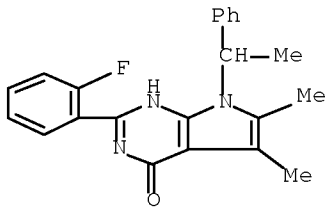
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



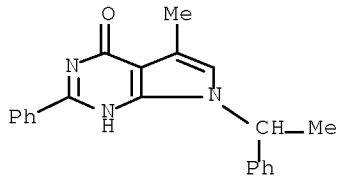
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



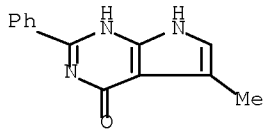
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



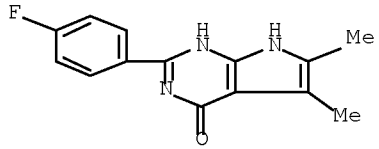
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



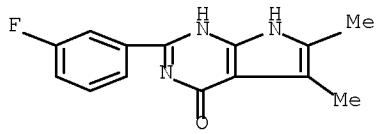
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



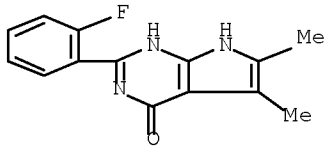
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



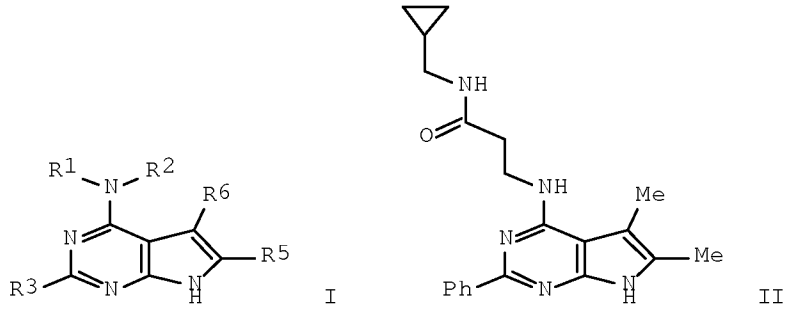
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R1 = H and R2 = cyclopropylmethylaminocarbonylethyl, cis-3-hydroxycyclopentyl, acetamidobutyl, etc.; or NR1R2 = 3-acetamidopiperadino, 3-hydroxypyrrolidino, 3-methoxycarbonylmethylpyrrolidino, etc.; R3 = (un)substituted cycloalkyl, aryl; R5 = H, alkyl, aryl; R6 = H, alkyl, cycloalkyl] which specifically inhibit the adenosine A3 receptor and are useful for treating a disease associated with A3 adenosine receptor, were prepared Thus, 4-chloro-5,6-dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine was reacted with 4-trans-hydroxycyclohexylamine in DMSO at 130°C for 5 h to yield I [R1 = H; R2 = trans-4-hydroxycyclohexyl; R3 = Ph; R5, R6 = Me] in 75% yield after purification which showed Ki of 13.9 nM

against adenosine receptor A1 binding. Some of the compds. I such as II exhibited at least 10 times more selective binding to adenosine receptor A3 than other receptor subtype. Claimed uses of I includes administration of a systemic formulation (i.e. ophthalmic) for the treatment of a disease associated with A3 adenosine receptors in a subject.

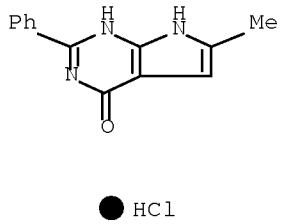
REFERENCE COUNT: 128 THERE ARE 128 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:511094 CAPLUS Full-text
DOCUMENT NUMBER: 139:85365
TITLE: Preparation of pyrrolopyrimidine A2b selective antagonist compounds, method of synthesis and therapeutic use
INVENTOR(S): Castelhano, Arlindo L.; Mckibben, Bryan; Steinig, Arno G.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

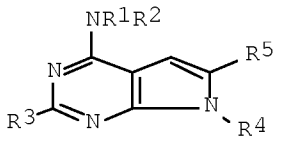
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003053361	A2	20030703	WO 2002-US40890		20021220
WO 2003053361	A3	20031224			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2470044	A1	20030703	CA 2002-2470044		20021220
AU 2002366801	A1	20030709	AU 2002-366801		20021220
US 2003229067	A1	20031211	US 2002-326005		20021220
EP 1467995	A2	20041020	EP 2002-805644		20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002015279	A	20050510	BR 2002-15279		20021220
JP 2005525305	T	20050825	JP 2003-554121		20021220
CN 1816551	A	20060809	CN 2002-828272		20021220
MX 2004PA05861	A	20041029	MX 2004-PA5861		20040616
IN 2004DN01869	A	20070511	IN 2004-DN1869		20040630
PRIORITY APPLN. INFO.:			US 2001-343443P	P	20011220
			WO 2002-US40890	W	20021220

OTHER SOURCE(S): CASREACT 139:85365; MARPAT 139:85365
IT 251946-70-0P, 6-Methyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-ol hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyrimidine A2b selective antagonist compds., method of synthesis and therapeutic use)
RN 251946-70-0 CAPLUS

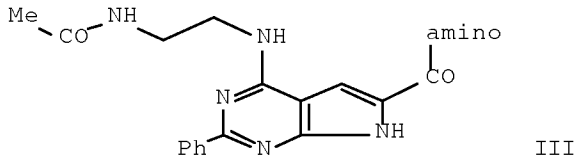
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



GI



I



III

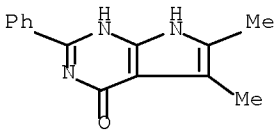
AB The subject invention provides pyrrolopyrimidines (shown as I; see below for variable definitions; e.g. N-[2-[6-[1-[2-(2- chlorophenyl)ethyl]piperidin-4-yloxymethyl]-2-phenyl-7H-pyrrolo[2,3- d]pyrimidin-4-ylamino]ethyl]acetamide (II)) or a specific enantiomer thereof, or a specific tautomer thereof, or a pharmaceutically acceptable salt thereof, and a method for treating a disease associated with the A2b adenosine receptor. For I: R1 is a (un)substituted alkyl (substituent = hydroxyl, dihydroxy, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra). R2 is H or a (un)substituted alkyl (substituent = hydroxyl, dihydroxyl, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra), or R1, R2 and N together form a substituted piperazine, substituted azetidine, or a pyrrolidine ring substituted with -(CH2)2OH or -CH2C(O)OH. R3 is a (un)substituted Ph or a 5-6 membered heteroaryl ring, wherein the substituent is halogen, hydroxyl, cyano, (C1-C15)alkyl, (C1-C15)alkoxyl or -NRaRb; R4 is H or (un)substituted (C1-C15)alkyl; R5 is -(CH2)mOR6, -CHNOR7, -C(O)NR8R9, -(CH2)mC(O)OR10, -(CH2)kC(O)NR11R12; addnl. details are given in the claims. Radioligand binding assays yielded selectivities for the A2b receptor relative to the A1, A2a and A3 receptors for 9 examples of I, e.g. 26 times for II. About 26 example prepns. of I and intermediates and characterization data for hundreds of I and intermediates are included. For example, III can be prepared by reacting 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine with PhSO2Cl and a reducing agent in the presence of solvent to produce 7-benzenesulfonyl-4-chloro-2- phenyl-7H-pyrrolo[2,3-d]pyrimidine, which was

reacted with CO2 in the presence of LDA and a solvent to produce lithium 7-benzenesulfonyl-4- chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylate, which was reacted with AcNHCH2CH2NH2 in the presence of solvent to give 4-(2-acetylaminoethylamino)-7-benzenesulfonyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, which was deprotected with a hydroxide base and subsequently condensed with amines.

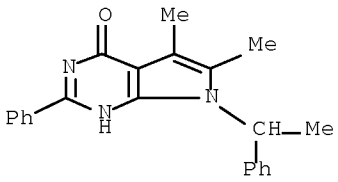
L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:454286 CAPLUS Full-text
DOCUMENT NUMBER: 139:36534
TITLE: Preparation of arylpyrrolopyrimidines as adenosine A1 and A3 receptor inhibitors
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Werner, Douglas S.; Witter, David
PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003048120	A2	20030612	WO 2002-US38055		20021127
WO 2003048120	A3	20030904			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2468673	A1	20030612	CA 2002-2468673		20021127
AU 2002360436	A1	20030617	AU 2002-360436		20021127
EP 1450811	A2	20040901	EP 2002-795691		20021127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005529062	T	20050929	JP 2003-549312		20021127
US 2005090513	A1	20050428	US 2004-497451		20041213
PRIORITY APPLN. INFO.:			US 2001-335273P	P	20011130
			US 2001-337274P	P	20011130
			WO 2002-US38055	W	20021127

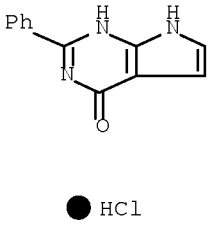
OTHER SOURCE(S): MARPAT 139:36534
IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-70-0P 251946-95-9P 251946-96-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpyrrolopyrimidines as adenosine A1 and A3 receptor inhibitors)
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



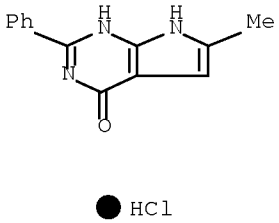
RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

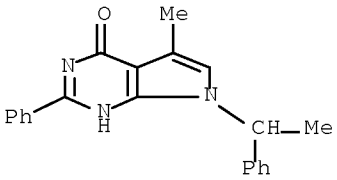


RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

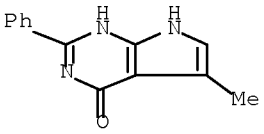


RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-

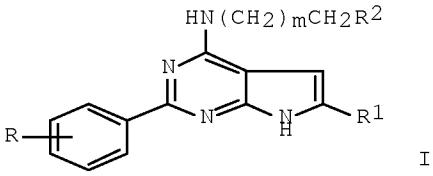
phenylethyl)- (9CI) (CA INDEX NAME)



RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



GI



I

AB Arylpyrrolopyrimidines I [m = 0-3; R = halogen, alkyl, alkoxy, OH, NH2, alkylamino; R1 = H, (un)substituted alkyl, aryl, aralkyl; R2 = (un)substituted imidazole, pyrazole, attached through C] which specifically inhibit the adenosine A1 and A3 receptors were prepared Thus, 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine was treated with histamine to give the 4-[2-(1H-imidazol-2-yl)ethyl]amino analog which had A3 inhibiting activity ≥10 times greater than that of reference compds.

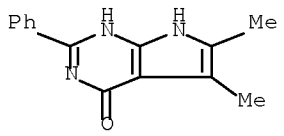
L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:300617 CAPLUS Full-text
DOCUMENT NUMBER: 138:321287
TITLE: Preparation of deazapurines as adenosine A3 receptor antagonists.
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 77 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

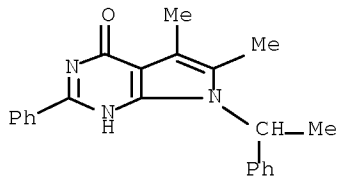
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073708	A1	20030417	US 2001-6405	20011130
US 6673802	B2	20040106		

PRIORITY APPLN. INFO.: US 2000-250748P P 20001201
OTHER SOURCE(S): MARPAT 138:321287
IT 177499-64-8P 177499-65-9P 251946-91-5P
251946-92-6P 251946-93-7P 251946-95-9P
251946-96-0P 251947-04-3P 251947-05-4P
251947-06-5P 512848-49-6P 512848-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of deazapurines as adenosine A3 receptor antagonists)

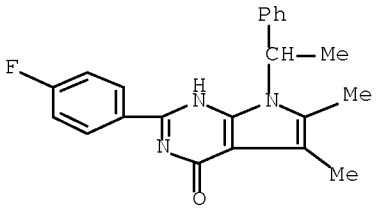
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



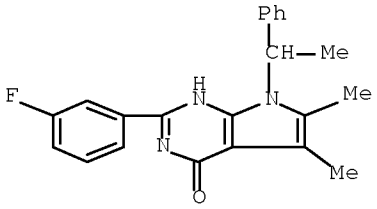
RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



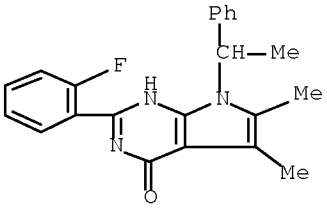
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



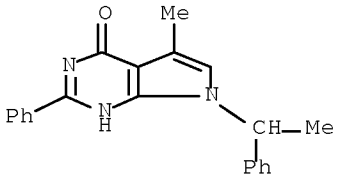
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



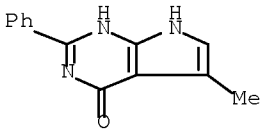
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



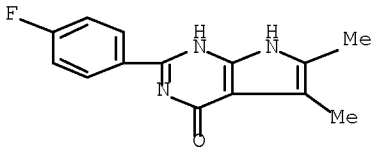
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



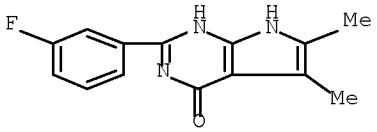
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



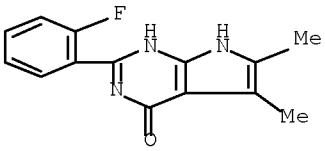
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)

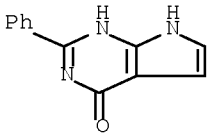


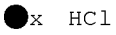
RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



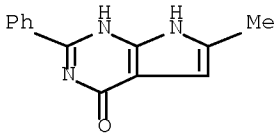
RN 512848-49-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, hydrochloride

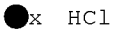
(9CI) (CA INDEX NAME)



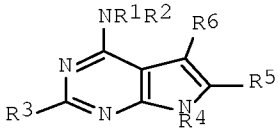


RN 512848-50-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)





GI



I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, aryl, aralkyl; R1R2 = atoms to form (substituted) heterocyclyl; R3 = (substituted) alkyl, aryl, aralkyl; R4 = H, (substituted) alkyl, aryl, aralkyl; R5, R6 = H, halo, (substituted) alkyl, aryl, alkylaryl; R4R5 or R5R6 = (substituted) heterocyclyl, carbocyclyl], were prepared Thus, 2-phenyl-7H-pyrrolo[2,3- d]pyrimidin-4-ylamine and histamine were heated at 120° in Me2SO for 6.5 h to give 43% [2-(3H-imidazol-4-yl)ethyl] [2-phenyl-7H-pyrrolo[2,3- d]pyrimidin-4-yl]amine. The latter had 10 times the A3 receptor binding affinity of a reference compound

REFERENCE COUNT: 118 THERE ARE 118 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:174478 CAPLUS Full-text
DOCUMENT NUMBER: 138:221598

TITLE: Preparation of pyrrolo[2,3-d]pyrimidinamines as selective adenosine A1 receptor inhibitors for treatment of asthma, COPD, and other conditions

INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 79 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

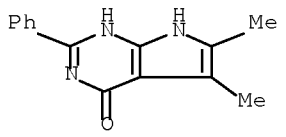
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003045536	A1	20030306	US 2001-280		20011130
US 6680324	B2	20040120			
US 2004082598	A1	20040429	US 2003-718280		20031120
US 2004082599	A1	20040429	US 2003-718411		20031120
PRIORITY APPLN. INFO.:			US 2000-250895P	P	20001201
			US 2001-280	A1	20011130

OTHER SOURCE(S): MARPAT 138:221598

IT 177499-64-8P, 5,6-Dimethyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one 177499-65-9P, 5,6-Dimethyl-2-phenyl-7H-7-(1-phenylethyl)pyrrolo[2,3-d]pyrimidin-4(3H)-one 251946-69-7P 251946-70-0P, 2-Phenyl-6-methyl-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one hydrochloride 251946-91-5P, 5,6-Dimethyl-2-(4-fluorophenyl)-7H-7-(1-phenylethyl)pyrrolo[2,3-d]pyrimidin-4(3H)-one 251946-92-6P, 5,6-Dimethyl-2-(3-fluorophenyl)-7H-7-(1-phenylethyl)pyrrolo[2,3-d]pyrimidin-4(3H)-one 251946-93-7P, 5,6-Dimethyl-2-(2-fluorophenyl)-7H-7-(1-phenylethyl)pyrrolo[2,3-d]pyrimidin-4(3H)-one 251946-95-9P, 5-Methyl-2-phenyl-7H-7-(1-phenylethyl)pyrrolo[2,3-d]pyrimidin-4(3H)-one 251946-96-0P, 5-Methyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one 251947-04-3P, 5,6-Dimethyl-2-(4-fluorophenyl)-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one 251947-05-4P, 5,6-Dimethyl-2-(3-fluorophenyl)-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one 251947-06-5P, 5,6-Dimethyl-2-(2-fluorophenyl)-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolopyrimidinamines adenosine A1 receptor inhibitors from aminocyanopyrroles for treatment of asthma, COPD, and other conditions)

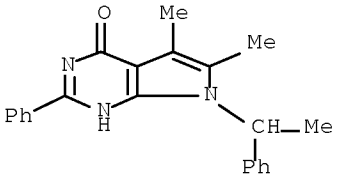
RN 177499-64-8 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

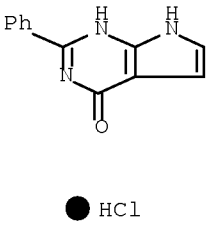


RN 177499-65-9 CAPLUS

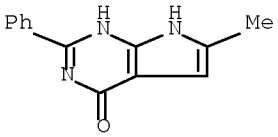
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



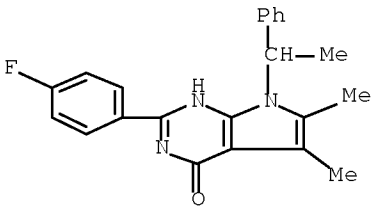
RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



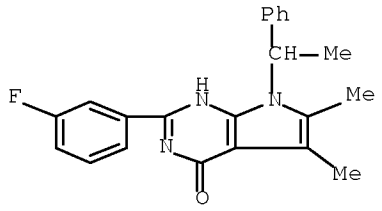
RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



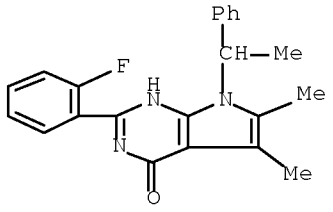
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



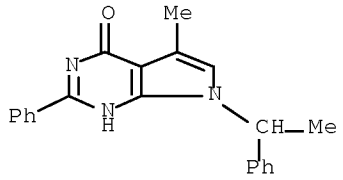
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



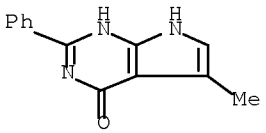
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



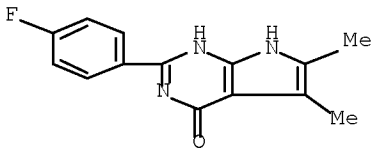
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



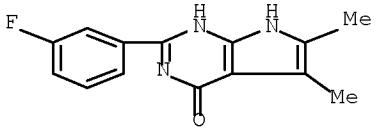
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



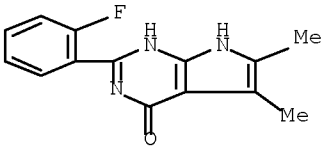
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



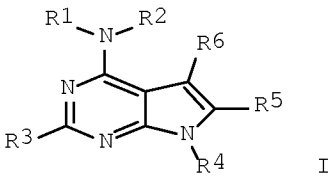
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



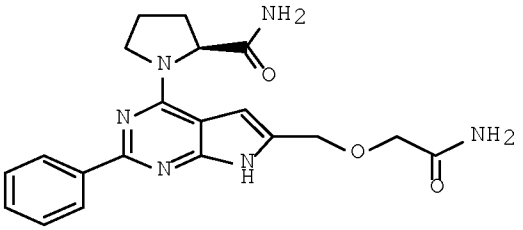
RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



I



II

AB Title diazapurinamines I [wherein R1, R2, and R4 = independently H or (un)substituted alkyl(aryl) or aryl; or NR1R2 = (un)substituted heterocyclyl; R3 = (un)substituted alkyl(aryl), aryl, CO2H, carboxy esters, or carboxamides; or C2R3R4 or C2R5R6 = (un)substituted carbocyclyl or heterocyclyl; R5 and R6 = independently H, halo, or (un)substituted alkyl(aryl) or aryl; and pharmaceutically acceptable salts and prodrugs thereof] were prepared as adenosine A1 specific inhibitors. For example, 4-chloro-5-methyl-2-phenyl-1H-pyrrolo[2,3-d]pyrimidine was protected with di-t-Bu dicarbonate (80%), brominated (84%), coupled with anhydrous Me glycolate (99%), coupled with L-prolinamide (92%), and deprotected (93%) to give II. The latter exhibited adenosine A1 receptor binding equal to or surpassing that of reference compds. and is expected to have better water solubility (cLogP = 1.5) than reference compds. (cLogP = 3.8). Efficacy and structure activity profiles of diazapurines of the invention are also disclosed. Thus, I are useful for the treatment of asthma, chronic obstructive pulmonary disease (COPD), allergic rhinitis, upper respiratory disorder, and congestive heart failure (no data).

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:555495 CAPLUS Full-text
DOCUMENT NUMBER: 137:109485
TITLE: Preparation of pyrrolopyrimidinylprolineamides and analogs as adenosine receptor antagonists
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 320 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057267	A1	20020725	WO 2001-US45280	20011130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,

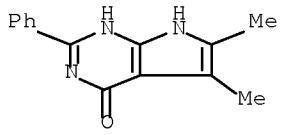
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002058667	A1	20020516	US 2000-728316		20001201
US 6680322	B2	20040120			
US 2002094974	A1	20020718	US 2000-728616		20001201
US 7160890	B2	20070109			
US 2003036545	A1	20030220	US 2000-728607		20001201
US 6664252	B2	20031216			
CA 2430577	A1	20020725	CA 2001-2430577		20011130
AU 2002248151	A1	20020730	AU 2002-248151		20011130
EP 1347980	A1	20031001	EP 2001-997029		20011130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001015847	A	20040225	BR 2001-15847		20011130
JP 2004517896	T	20040617	JP 2002-557944		20011130
HU 2004000692	A2	20040728	HU 2004-692		20011130
HU 2004000692	A3	20070928			
NZ 525885	A	20050128	NZ 2001-525885		20011130
IN 2003DN00802	A	20070112	IN 2003-DN802		20030522
MX 2003PA04717	A	20040630	MX 2003-PA4717		20030528
NO 2003002482	A	20030728	NO 2003-2482		20030602
PRIORITY APPLN. INFO.:			US 1999-169037P	P	19991202
			US 2000-728316	A	20001201
			US 2000-728616	A	20001201
			US 2000-728607	A	20001204
			US 1999-168803P	P	19991202
			US 1999-169036P	P	19991202
			WO 2001-US45280	W	20011130

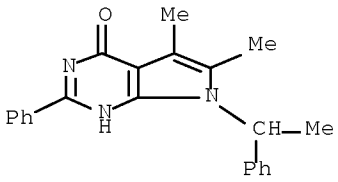
OTHER SOURCE(S): MARPAT 137:109485

IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-70-0P 251946-91-5P 251946-92-6P
251946-93-7P 251946-95-9P 251946-96-0P
251947-04-3P 251947-05-4P 251947-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyrimidinylprolineamides and analogs as adenosine receptor antagonists)

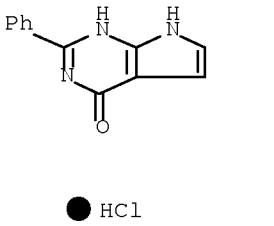
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



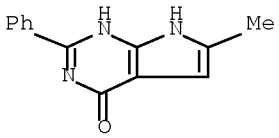
RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



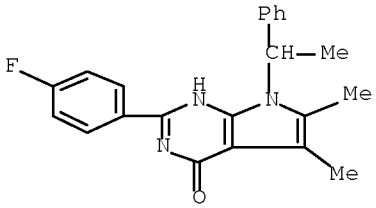
RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



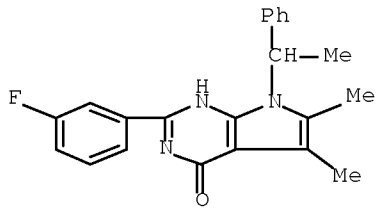
RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



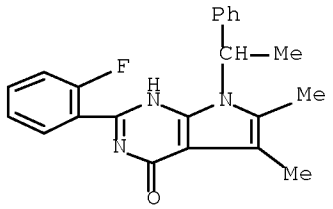
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



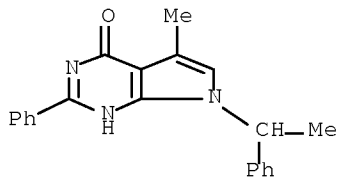
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



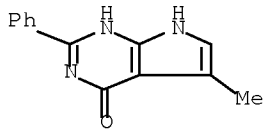
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



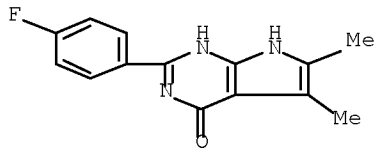
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



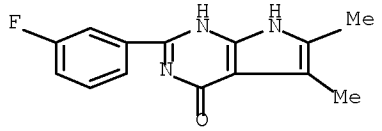
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



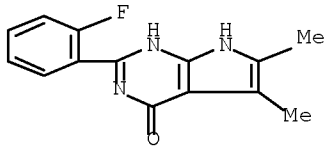
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



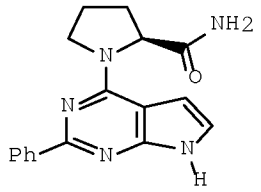
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



I

AB Title compds., e.g., I, were prepared Data for biol. activity of title compds. were given.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:540257 CAPLUS Full-text
DOCUMENT NUMBER: 137:109288
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 83 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

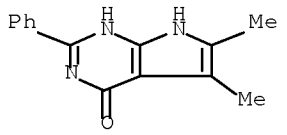
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002094974	A1	20020718	US 2000-728616	20001201
US 7160890	B2	20070109		
CA 2430577	A1	20020725	CA 2001-2430577	20011130
WO 2002057267	A1	20020725	WO 2001-US45280	20011130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002248151	A1	20020730	AU 2002-248151	20011130
EP 1347980	A1	20031001	EP 2001-997029	20011130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015847	A	20040225	BR 2001-15847	20011130
JP 2004517896	T	20040617	JP 2002-557944	20011130
HU 2004000692	A2	20040728	HU 2004-692	20011130
HU 2004000692	A3	20070928		
NZ 525885	A	20050128	NZ 2001-525885	20011130
MX 2003PA04717	A	20040630	MX 2003-PA4717	20030528
NO 2003002482	A	20030728	NO 2003-2482	20030602

PRIORITY APPLN. INFO.:	US 1999-169036P	P	19991202
	US 1999-169037P	P	19991202
	US 2000-728316	A	20001201
	US 2000-728607	A	20001201
	US 2000-728616	A	20001201
	WO 2001-US45280	W	20011130

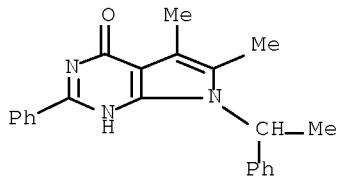
OTHER SOURCE(S): MARPAT 137:109288
IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-70-0P 251946-91-5P 251946-92-6P
251946-93-7P 251946-96-0P 251947-04-3P
251947-05-4P 251947-06-5P 443118-77-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(intermediate; preparation of pyrrolo[2,3-d]pyrimidines as selective inhibitors of the adenosine A3 receptor for the treatment of diseases such as diarrhea, allergic rhinitis, and eye damage resulting from injuries or disease)

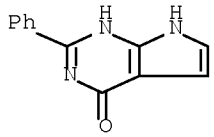
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)

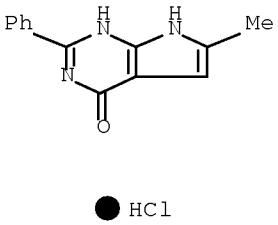


RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

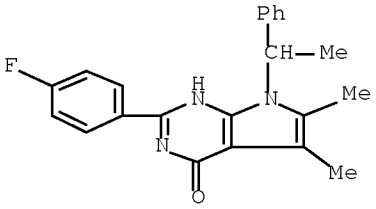


● HCl

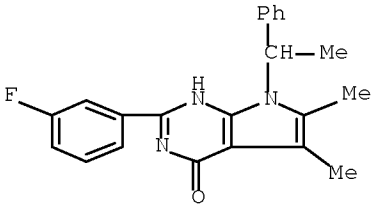
RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



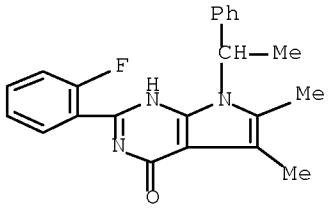
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



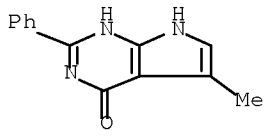
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



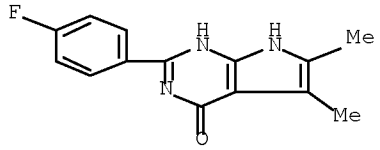
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



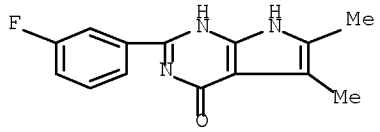
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



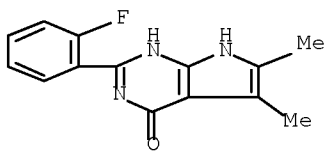
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)

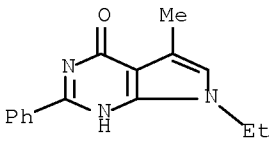


RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)

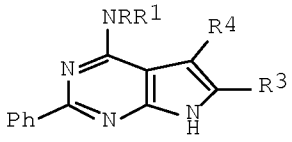


RN 443118-77-2 CAPLUS

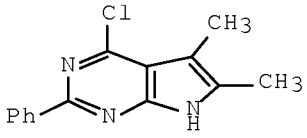
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-ethyl-1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



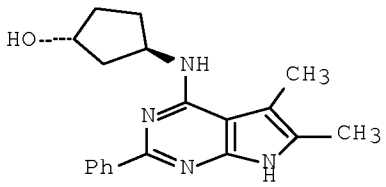
GI



I



II



III

AB Pyrrolopyrimidines I [R = 3-hydroxycyclopentylamino ethylamino carbonylamino Pr, N,N-diethylamino carbonylamino Et, thioacetamido Et, 3-amino acetyloxy cyclopentyl, 3-hydroxycyclopentyl, 2-pyrrolyl carbonyl aminoethyl, 2-imidazolinone Et, 1-aminocarbonyl-2-methylpropyl, 1-aminocarbonyl-2-Ph Et, 3-hydroxyazetidino, 2-imidazoleethyl, acetamidoethyl, 1-(R)-phenyl-2-hydroxyethyl, N-methylaminocarbonyl pyridyl-2-methyl; R1 = H; RR1N = 3-hydroxypyrrolidino, 3-methyloxy carbonylmethyl pyrrolidino, 3-aminocarbonylmethyl pyrrolidino, 3-hydroxymethyl piperidino; R3, R4 = H, (un)substituted alkyl, aryl] are prepared as selective inhibitors of adenosine receptors, particularly the adenosine A3 receptor, for the treatment of diseases such as asthma, diarrhea, chronic obstructive pulmonary disease, allergic rhinitis, or for the treatment of eye damage caused either by disease or injury. Human adenosine receptors are transformed into yeast; the modified yeast are used to assay the invention compds. I for their adenosine receptor binding and selectivities. E.g., 1-(1-phenylethyl)-2-amino-3-cyano-4,5-dimethylpyrrole is acylated with PhCOCl to give the benzamide which undergoes cyclocondensation with concentrated H2SO4 in MeOH to give a pyrrolopyrimidinone; removal of the phenethyl group with polyphosphoric acid and chlorination of the pyrrolopyrimidinone with POCl3 gives the intermediate chloropyrrolopyrimidine II. E.g., addition of amines such as trans-3-amino-1-cyclopentanol to II in DMSO gives aminopyrrolopyrimidines such as III. III has a Ki for the adenosine A1 receptor of 29 nM and a Ki for the adenosine A3 receptor of 3.1 nM while binding to the adenosine A2a and A2b receptors with

Ki values of 191 nM and 1143 nM, resp. Formulations of these compds. are claimed (no data). Methods for the preparation of I from the acylation of aminopyrroles with acyl chlorides followed by cyclocondensation and deprotection, chlorination, and substitution of the chlorine atom with an amine are claimed.

REFERENCE COUNT: 128 THERE ARE 128 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:368992 CAPLUS Full-text

DOCUMENT NUMBER: 136:386128

TITLE: Synthesis and use of substituted pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists

INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.

PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 79 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

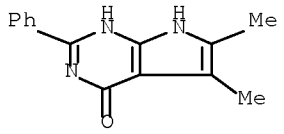
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002058667	A1	20020516	US 2000-728316	20001201
US 6680322	B2	20040120		
CA 2430577	A1	20020725	CA 2001-2430577	20011130
WO 2002057267	A1	20020725	WO 2001-US45280	20011130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002248151	A1	20020730	AU 2002-248151	20011130
EP 1347980	A1	20031001	EP 2001-997029	20011130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015847	A	20040225	BR 2001-15847	20011130
JP 2004517896	T	20040617	JP 2002-557944	20011130
HU 2004000692	A2	20040728	HU 2004-692	20011130
HU 2004000692	A3	20070928		
NZ 525885	A	20050128	NZ 2001-525885	20011130
ZA 2003003729	A	20040514	ZA 2003-3729	20030514
IN 2003DN00802	A	20070112	IN 2003-DN802	20030522
MX 2003PA04717	A	20040630	MX 2003-PA4717	20030528
NO 2003002482	A	20030728	NO 2003-2482	20030602

PRIORITY APPLN. INFO.:	US 1999-168803P	P	19991202
	US 1999-169037P	P	19991202
	US 2000-728316	A	20001201
	US 2000-728607	A	20001201
	US 2000-728616	A	20001201
	WO 2001-US45280	W	20011130

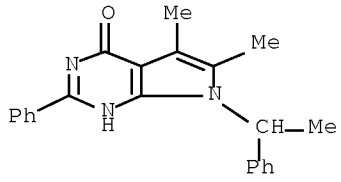
OTHER SOURCE(S): MARPAT 136:386128

IT 177499-64-8P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- 177499-65-9P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- 251946-69-7P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride 251946-91-5P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- 251946-92-6P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- 251946-93-7P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- 251946-95-9P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- 251946-96-0P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- 251947-04-3P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- 251947-05-4P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- 251947-06-5P, 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of substituted 7H-pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists)

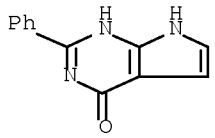
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)

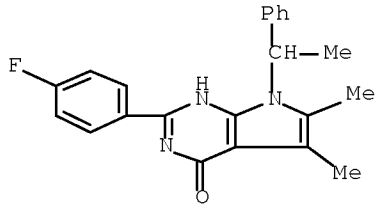


RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

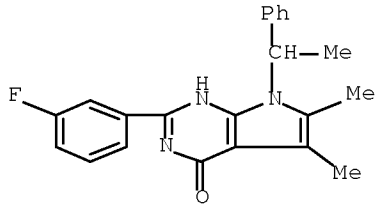


● HCl

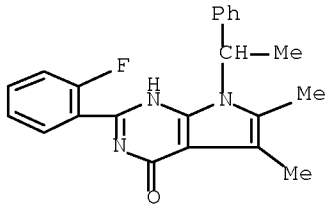
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



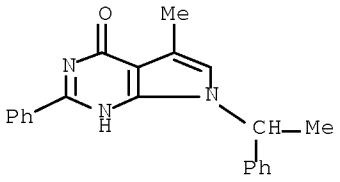
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



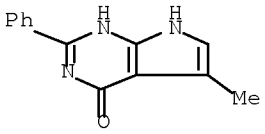
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



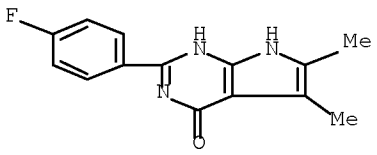
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



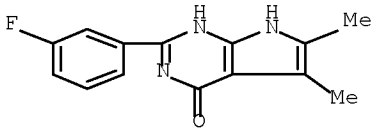
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



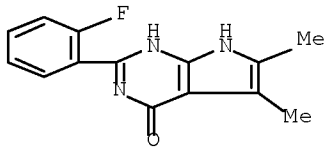
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



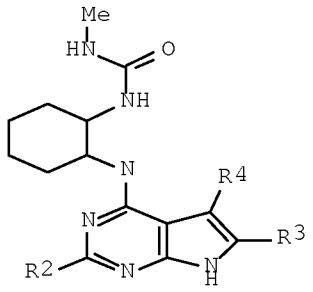
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



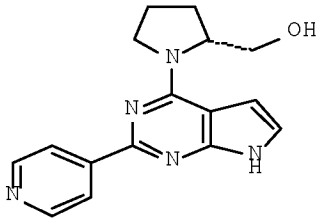
RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. I and analogs [R2 = 5-6 membered aromatic ring; R3-4 = H, alkyl] were prepared Over 100 examples were provided. Intermediate 4-chloro-7H-pyrrolo[2,3-d]pyrimidines were prepared by several routes from appropriately substituted cyano-pyrroles. Thus, 4-chloro-2-(4-pyridyl)-7H- pyrrolo[2,3-d]pyrimidine hydrochloride was reacted with D-prolinol (2.3 mol equiv) in DMSO at 120° for 18 h to yield II in 13% yield after purification Compound I [R2 = Ph; R3-4 = Me] exhibited 10-fold selectivity for binding to the adenosine A1 receptor than to A2a, A2b or A3 receptors. ClogP values were determined for selected example compds. I are useful for the treatment of COPD, allergic rhinitis, etc.

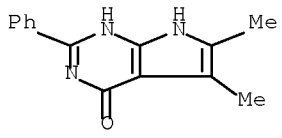
L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:416773 CAPLUS Full-text
DOCUMENT NUMBER: 135:46190
TITLE: Synthesis and use of substituted pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 368 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039777	A1	20010607	WO 2000-US32702	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6686366	B1	20040203	US 1999-454075	19991202
US 6878716	B1	20050412	US 1999-454074	19991202
CA 2393179	A1	20010607	CA 2000-2393179	20001201
EP 1246623	A1	20021009	EP 2000-988011	20001201
EP 1246623	B1	20060809		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519102	T	20030617	JP 2001-541509	20001201
AU 784878	B2	20060713	AU 2001-24270	20001201
MX 2002PA05357	A	20030519	MX 2002-PA5357	20020529
IN 2002DN00621	A	20070525	IN 2002-DN621	20020619
HK 1050319	A1	20070404	HK 2003-102257	20030328

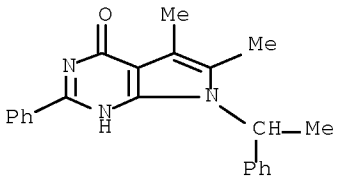
PRIORITY APPLN. INFO.:	US 1999-454074	A	19991202
	US 1999-454075	A	19991202
	US 1999-454254	A	19991202
	US 1998-87702P	P	19980602
	US 1999-123216P	P	19990308
	US 1999-126527P	P	19990326
	WO 1999-US12135	A2	19990601
	WO 2000-US32702	W	20001201

OTHER SOURCE(S): MARPAT 135:46190
IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-91-5P 251946-92-6P 251946-93-7P
251946-95-9P 251946-96-0P 251947-04-3P
251947-05-4P 251947-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of substituted 7H-pyrrolo[2,3-b]pyrimidines as selective adenosine A1, A2a and A3 receptor antagonists)
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

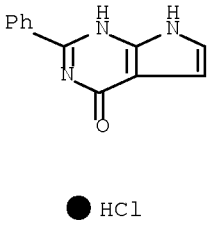


RN 177499-65-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)

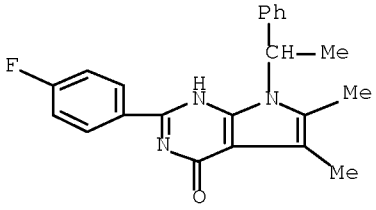


RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

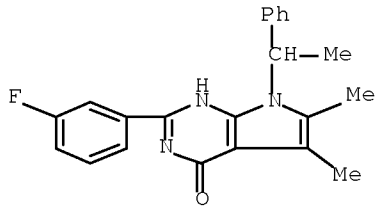


● HCl

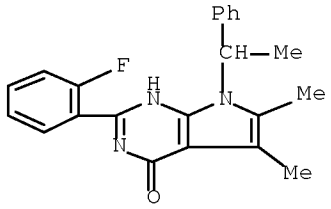
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



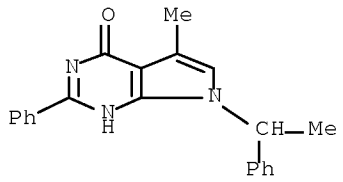
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



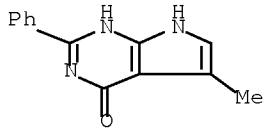
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)

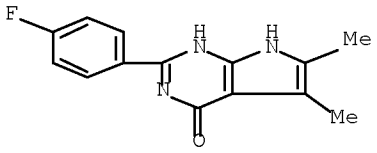


RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

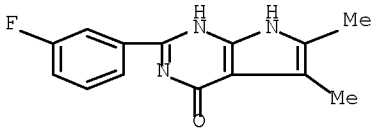


RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-

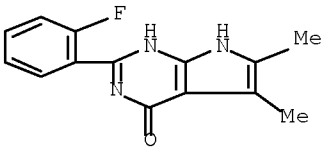
dimethyl- (9CI) (CA INDEX NAME)



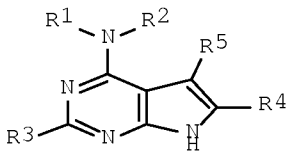
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



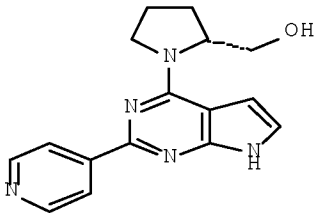
RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



GI



I



III

AB The synthesis of compds. I, their binding to adenosine receptors and use are described [wherein; R1, R2 = H, (un)substituted alkyl or NR1R2 = (un)substituted 4-8 membered ring; R3 = (un)substituted 4-6 membered

(aromatic) ring; R4, R5 = H, (un)substituted alkyl, aryl (with some exceptions)]. Over 100 examples are provided. Intermediate 4-chloro-7H-pyrrolo[2,3-d]pyrimidines were prepared by several routes from appropriately substituted cyano-pyrroles. Thus, 4-chloro-2-(4-pyridyl)-7H- pyrrolo[2,3-d]pyrimidine hydrochloride was reacted with D-prolinol (2.3 mol equiv) in DMSO at 120°C for 18 h to yield III in 13% yield after purification Compound I [R1 = AcNHCH2CH2; R2 = H; R3 = Ph; R4, R5 = Me; II] exhibited selective binding to adenosine receptor A1 with IC50 = 82.8 nM. Compound II also had Ki = 9.8 nM (vs. Ki = 7.1 for control ligand 8-cyclopentyl-1,3-dipropylxanthine (DPCPX)). Pyrimidine III binds 5 times more selectively to adenosine receptor A2a than A1, A2b or A3 (no data). Compound I [R1 = AcNH(CH2)4; R2 = H; R3 = Ph; R4, R5 = Me] is 10 times more selective for A3 than the other receptor subtypes. ClogP (calculated partition coefficient between octanol and H2O) values were determined for selected example compds. Claimed uses of I includes administration of a systemic formulation (i.e. ophthalmic) for the treatment of a disease associated with A1, A2a, and A3 adenosine receptors in a subject.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:792835 CAPLUS Full-text

DOCUMENT NUMBER: 134:100695

TITLE: 7-Deazaadenines Bearing Polar Substituents: Structure-Activity Relationships of New A1 and A3 Adenosine Receptor Antagonists

AUTHOR(S): Hess, Sonja; Mueller, Christa E.; Frobenius, Wolfram; Reith, Ulrike; Klotz, Karl-Norbert; Eger, Kurt

CORPORATE SOURCE: Pharmaceutical Chemistry Institute of Pharmacy, University of Leipzig, Leipzig, D-04103, Germany

SOURCE: Journal of Medicinal Chemistry (2000), 43(24), 4636-4646
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

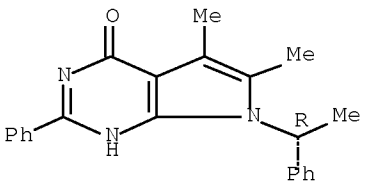
OTHER SOURCE(S): CASREACT 134:100695

IT 177499-47-7P 177499-49-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7-deazaadenines bearing polar substituents and their structure-activity relationships as A1 and A3 adenosine receptor antagonists)

RN 177499-47-7 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

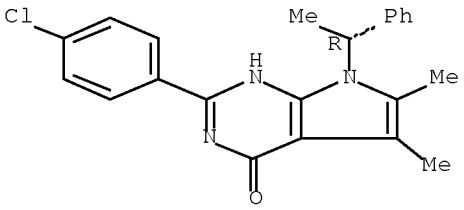
Absolute stereochemistry.



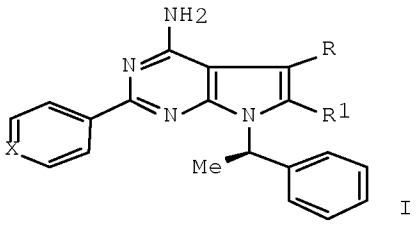
RN 177499-49-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-chlorophenyl)-1,7-dihydro-5,6-dimethyl-7-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

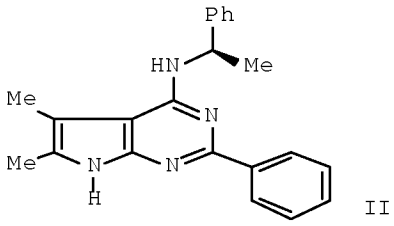
Absolute stereochemistry.



GI



I



II

AB A series of 28 new pyrrolo[2,3-d]pyrimidine-4-amines, pyrimido[4,5- b]indole-4-amines, and tetrahydropyrimido[4,5-b]indole-4-amines was synthesized and their adenosine receptor affinity determined in radioligand binding assays at rat A1 and A2A adenosine receptors (ARs). Selected compds. were addnl. investigated in binding assays at recombinant A3 ARs. The 2-Ph residue in (R)-7-(1-methylbenzyl)-2-phenylpyrrolo[2,3- d]pyrimidine-4-amine (ADPEP) I (R = R1 = Me, X = CH) and in the corresponding pyrimido[4,5-b]indole (APEPI) I (RR1 = CH:CHCH:CH, X = CH) could be bioisosterically replaced by heterocyclic rings, such as 2-thienyl and 4-pyridyl. The resulting compds. retained high affinity and selectivity for A1 ARs. Judging from the investigation of selected compds., it appears that they are also potent at human A1 ARs and selective not only vs. A2A ARs but also highly selective vs. A2B and A3 ARs. The p-pyridyl-substituted derivs. I (R = R1 = Me, X = N) and (APPPI) I (RR1 = CH:CHCH:CH, X = X) may be interesting pharmacol. tools due to their fluorescent properties. Pyrrolo[2,3-d]pyrimidine-4-amine derivs. which were simultaneously substituted at N7 and N4, combining the substitution pattern of ADPEP and DPEAP (II), showed very low affinity for A1 ARs. This finding supports previously published hypothesis of different binding modes for pyrrolopyrimidines, such as ADPEP and DPEAP. DPEAP was found to exhibit high affinity for human A3 ARs (Ki = 28 nM), whereas N4-unsubstituted analogs were inactive. DPEAP and related compds. provide new leads for the development of antagonists for the human A3 AR.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

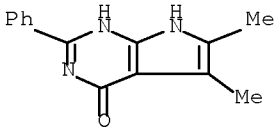
ACCESSION NUMBER: 1999:783937 CAPLUS Full-text
DOCUMENT NUMBER: 132:22973
TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as adenosine receptor antagonists
INVENTOR(S): Castelhano, Arlindo L.; McKibben, Bryan; Witter, David J.
PATENT ASSIGNEE(S): Cadus Pharmaceutical Corp., USA
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962518	A1	19991209	WO 1999-US12135	19990601
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334200	A1	19991209	CA 1999-2334200	19990601
AU 9942265	A	19991220	AU 1999-42265	19990601
AU 763658	B2	20030731		
BR 9911612	A	20010206	BR 1999-11612	19990601
EP 1082120	A1	20010314	EP 1999-926107	19990601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003513	T2	20010621	TR 2000-3513	19990601
HU 2001003836	A2	20020228	HU 2001-3836	19990601
JP 2002516861	T	20020611	JP 2000-551774	19990601
NZ 508314	A	20040326	NZ 1999-508314	19990601
TW 242435	B	20051101	TW 1999-88109094	19990728
US 6686366	B1	20040203	US 1999-454075	19991202
US 6878716	B1	20050412	US 1999-454074	19991202
NO 2000006090	A	20010131	NO 2000-6090	20001130
MX 2000PA11889	A	20030425	MX 2000-PA11889	20001130
US 2002028782	A1	20020307	US 2000-728229	20001201
US 6800633	B2	20041005		
US 2005043332	A1	20050224	US 2004-816329	20040331

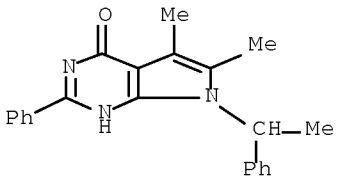
PRIORITY APPLN. INFO.:	US 1998-87702P	P	19980602
	US 1999-123216P	P	19990308
	US 1999-126527P	P	19990326
	WO 1999-US12135	W	19990601
	US 2000-728229	A3	20001201

OTHER SOURCE(S): MARPAT 132:22973
IT 177499-64-8P 177499-65-9P 251946-69-7P
251946-70-0P 251946-91-5P 251946-92-6P
251946-93-7P 251946-95-9P 251946-96-0P
251947-04-3P 251947-05-4P 251947-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[2,3-d]pyrimidines as adenosine receptor antagonists)
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI)

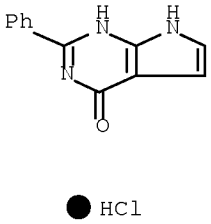
(CA INDEX NAME)



RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)

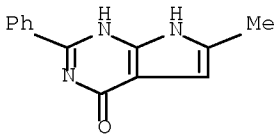


RN 251946-69-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



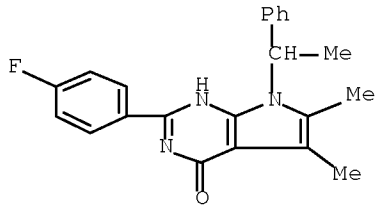
● HCl

RN 251946-70-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-6-methyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

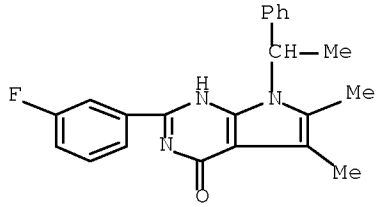


● HCl

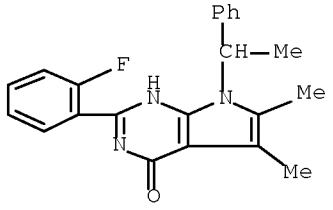
RN 251946-91-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



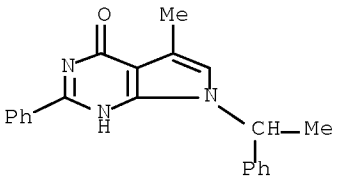
RN 251946-92-6 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



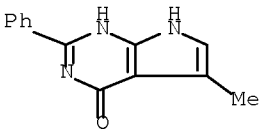
RN 251946-93-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



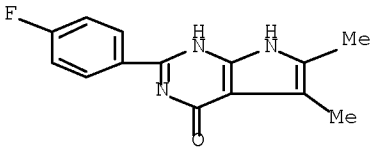
RN 251946-95-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



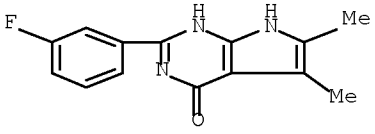
RN 251946-96-0 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



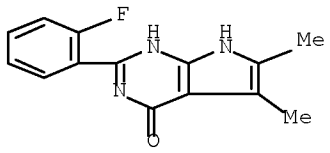
RN 251947-04-3 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



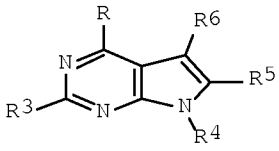
RN 251947-05-4 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(3-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



RN 251947-06-5 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(2-fluorophenyl)-1,7-dihydro-5,6-dimethyl- (9CI) (CA INDEX NAME)



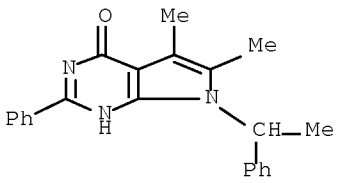
GI



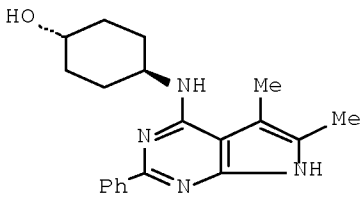
I

AB Title compds. [I; R = NR1R2; R1-R4 = H, alkyl, aryl, etc.; NR1R2 = heterocyclyl; R5,R6 = H, halo, alkyl, aryl, etc.; R4R5,R5R6 = atoms to complete a ring] were prepared Thus, 2- amino-3-cyano-4,5-dimethyl-1-(1-phenylethyl)pyrrole was N-benzoylated and the product cyclized to give, after deprotection and chlorination, I (R3 = Ph, R4 = H, R5 = R6 = Me)(II; R = Cl) which was aminated by trans-4-hydroxycyclohexylamine to give II (R = trans-4-hydroxycyclohexylamino). Data for biol. activity of I were given.
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:571295 CAPLUS Full-text
DOCUMENT NUMBER: 131:281026
TITLE: Selective A1-adenosine receptor antagonists identified using yeast Saccharomyces cerevisiae functional assays
AUTHOR(S): Campbell, Robert M.; Cartwright, Craig; Chen, Wei; Chen, Yong; Duzic, Emir; Fu, Jian-Min; Loveland, Michelle; Manning, Ron; McKibben, Bryan; Pleiman, Christopher M.; Silverman, Lauren; Trueheart, Joshua; Webb, David R.; Wilkinson, Vicki; Witter, David J.; Xie, Xiaobing; Castelhano, Arlindo L.
CORPORATE SOURCE: Cadus Pharmaceutical Corporation, Tarrytown, NY, 10591, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(16), 2413-2418
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 177499-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective A1-adenosine receptor antagonists identified using yeast functional assays)
RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



GI



I

AB Evaluation of a biased "library" of pyrrolo[2,3-d]pyrimidines using yeast-based functional assays expressing human A1- and A2a-adenosine receptors, led to the A1 selective antagonist I. A direct correlation between yeast functional activity and binding data was established. Practical compds. with polar residues at C-4 of the pyrrolopyrimidine system required H-bond donor functionality for high potency.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:328283 CAPLUS Full-text

DOCUMENT NUMBER: 125:25634

TITLE: Chiral Pyrrolo[2,3-d]pyrimidine and Pyrimido[4,5-b]indole Derivatives: Structure-Activity Relationships of Potent, Highly Stereoselective A1-Adenosine Receptor Antagonists

AUTHOR(S): Mueller, Christa E.; Geis, Uli; Grahner, Bettina; Lanzner, Wolfgang; Eger, Kurt

CORPORATE SOURCE: Institut fuer Pharmazie und Lebensmittelchemie Pharmazeutische Chemie, Julius-Maximilians-Universitaet, Wuerzburg, D-97074, Germany

SOURCE: Journal of Medicinal Chemistry (1996), 39(13), 2482-2491
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

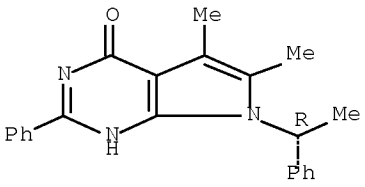
IT 177499-47-7P 177499-48-8P 177499-49-9P 177499-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation and structure-activity of pyrroloindoles and pyrrolopyrimidines
as A1-adenosine receptor antagonists)

RN 177499-47-7 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

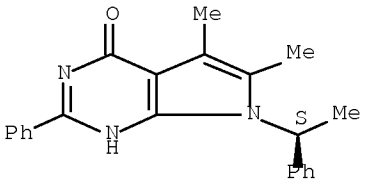
Absolute stereochemistry.



RN 177499-48-8 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)-, (S)- (9CI) (CA INDEX NAME)

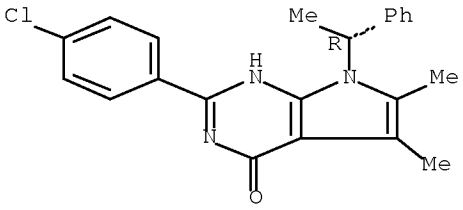
Absolute stereochemistry.



RN 177499-49-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-chlorophenyl)-1,7-dihydro-5,6-dimethyl-7-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

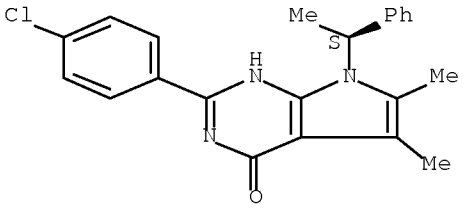
Absolute stereochemistry.



RN 177499-50-2 CAPLUS

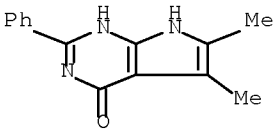
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 2-(4-chlorophenyl)-1,7-dihydro-5,6-dimethyl-7-(1-phenylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

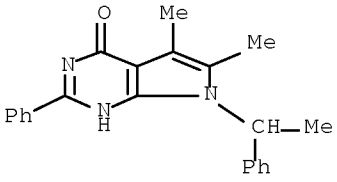


IT 177499-64-8P 177499-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant and intermediate; in preparation of pyrroloindoles and pyrrolopyrimidines as A1-adenosine receptor antagonists)

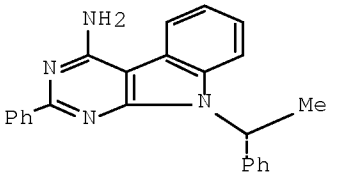
RN 177499-64-8 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 177499-65-9 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,7-dihydro-5,6-dimethyl-2-phenyl-7-(1-phenylethyl)- (9CI) (CA INDEX NAME)



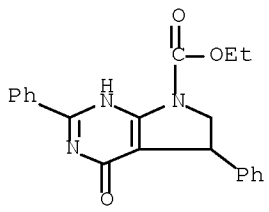
GI



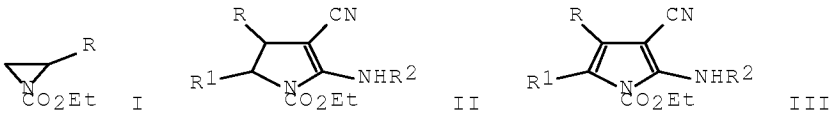
I

AB A series of novel, mostly chiral pyrrolo[2,3-d]pyrimidine and pyrimido[4,5-b]indole derivs. has been synthesized and investigated in radioligand binding assays at the high-affinity adenosine receptor (AR) subtypes A1 and A2a. The compds. can be envisaged as adenine and hypoxanthine analogs lacking the nitrogen in the 7-position (7-deazaadenines and 7-deazahypoxanthines). 7-Deazaadenines were much more potent than 7-deazahypoxanthines at AR with A1AR affinities in the low-nanomolar range, extraordinarily high selectivity for the rat brain A1AR vs. the A2aAR (several thousand-fold), and high stereoselectivity (up to 96-fold). Pyrimido[4,5-b]indoles were more potent A1AR antagonists compared to pyrrolo[2,3-d]pyrimidines. Compound I is one of the most potent and most selective nonxanthine A1AR antagonists known to date (Ki = 2.8 nM, >2000-fold A1-selective). A new class of very potent A1AR antagonists has been identified, namely, 2-phenyl-7-deazaadenines bearing a substituent at the exocyclic amino group (N4-substituted 2-phenyl-7-deazaadenines). (R)-N-(1-Phenylethyl)-4-amino-5,6-dimethyl-2- phenyl-7H-pyrrolo[2,3-d]pyrimidine (DPEAP) showed a Ki value of 6.7 nM at A1AR and >4000-fold A1 selectivity. Different binding modes are postulated for the N4-substituted 4-aminopyrrolo[2,3-d]pyrimidines and the 7-substituted derivs., based on a comparison of steric, electronic, and hydrophobic properties of the two classes of compds. Water solubility and lipophilicity have been determined for selected compds. 4-Amino-5,6-dimethyl-2- (3-chlorophenyl)-7H-pyrrolo[2,3-d]pyrimidine showed the highest water solubility/A1AR affinity ratio of 368 in the present series, over 2000-fold A1 selectivity, and 64-fold stereoselectivity (R > S).

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:562744 CAPLUS Full-text
DOCUMENT NUMBER: 97:162744
TITLE: Studies on heterocyclic enaminonitriles. I. Synthesis and aromatization of 2-amino-3-cyano-1-ethoxycarbonyl-4,5-dihydropyrroles
AUTHOR(S): Sonoda, Miki; Kuriyama, Nobutaka; Tomioka, Yukihiko; Yamazaki, Motoyoshi
CORPORATE SOURCE: Fac. Pharm. Sci., Fukuoka Univ., Fukuoka, 814-01, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(7), 2357-63
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:162744
IT 83362-08-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 83362-08-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-7-carboxylic acid, 3,4,5,6-tetrahydro-4-oxo-2,5-diphenyl-, ethyl ester (9CI) (CA INDEX NAME)

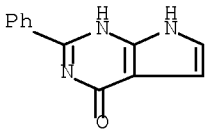


GI



AB Reaction of I (R = H, Me, Ph) with CH2(CN)2 gave 47-50% II [R2 = H (IIa); R, R1 = H, H; H, Me; Ph, H], which were benzoylated to give II (R2 = Bz) (IIb). IIa were aromatized with chloranil to give III (R2 = H) (IIIa); IIb and N-bromosuccinimide gave III (R2 = Bz).

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:46024 CAPLUS Full-text
DOCUMENT NUMBER: 56:46024
ORIGINAL REFERENCE NO.: 56:8712e-i,8713a-c
TITLE: 2-Alkyl(aryl)- and 2,7-dimethyl-4-substituted amino-pyrrolo[2,3-d]pyrimidines
AUTHOR(S): West, R. A.; Beauchamp, L.
CORPORATE SOURCE: Wellcome Research Labs., Tuckahoe, NY
SOURCE: Journal of Organic Chemistry (1961), 26, 3809-12
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 56:46024
IT 91493-94-6P, 7H-Pyrrolo[2,3-d]pyrimidin-4-ol, 2-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 91493-94-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-ol, 2-phenyl- (7CI) (CA INDEX NAME)



AB Amidines condensed with Et α-cyano-γ,γ-diethoxybutyrate (I) gave pyrimidine derivs. which were further cyclized to 4-hydroxypyrrolo[2,3-d]pyrimidines. The various 4- chloropyrrolopyrimidines resulting from treatment of the OH compds, with PCl3 reacted readily with amines to yield 4-substituted amino derivs. having pharmacol. activity. Acetamidine-HCl (4.7 g.) left 1 hr. at room temperature with 75 ml. solution of 0.1 mole NaOEt, the NaCl removed, the filtrate refluxed 5 hrs. with I, evaporated, the residue dissolved in 80 ml. cold H2O, the pyrimidine precipitated at pH 7, cooled overnight, and dried gave 10.8 g. 2-methyl-4-hydroxy-5-(β,β-diethoxyethyl)pyrimidine (II),

decomposed at 253-60° to a dark oil. The following 4-hydroxy-6-amino-5-(β,β-diethoxyethyl)pyrimidines were thus obtained (2-substituent, % yield, m.p. given): Me, 89, 249-50°; Et, 93, 238-5°; Pr, 77, 207-9°; Ph, 71, 174-6°. II (4.5 g.) refluxed 2 hrs. with 2 ml. concentrated H2SO4 in 110 ml. 95% alc., an equal volume of H2O added, and the mixture chilled overnight gave 2.1 g. 2-methyl-4-hydroxypyrrolo[2,3-d]pyrimidine (III), no m.p. below 300°. III (25 g.) suspended in 175 ml. POCl3 refluxed 45 min., excess POCl3 evaporated at 55-60° in vacuo, the oil dropped slowly into 11. ice H2O, the product extracted with Et2O, dried, and evaporated gave 23.2 g. 2-methyl-4-chloropyrrolo[2,3-d]pyrimidine (IV). IV (5 g.) added to 1.9 g. Na-OMe in 50 ml. alc. at 5-10°, to this added 2.4 ml. MeI, the mixture warmed 2 days at 40-5° in a sealed flask, the solvent evaporated, the solid triturated with H2O, and filtered gave 4 g. 2,7-dimethyl-4- chloropyrrolo[2,3-d]pyrimidine. The following pyrrolo[2,3-d]pyrimidines were thus obtained (2, 7, 4 substituents, % yield, and m.p. given): Me, H, OH, 76, no m.p, to 320°; Et, H, OH, 85, no m.p. to 320°; Pr, H, OH, 85, no m.p. to 320°; Ph, H, OH, 93, no m.p. to 320°; H, Me, Cl, 75, 130°; Me, H, Cl, 83,205-7°; Me, Me, Cl, 70, 121-2°: Et, H, Cl, 72, 125-7°; Pr, H, Cl, 82, 129-30°; Ph, H, Cl. 80, 225-6°. Method A. 2-Phenyl-4-chloropyrrolo[2,3-d]pyrimidine (1 g.) added to 35 ml. H2O containing 0.9 g. K2CO3 and 1 g. PhCH2NH2 and cooled overnight gave 1.2 g. crude 2-phenyl-4-benzylaminopyrrolo[2,S-d]pyrimidine. The product was recrystd. from C6H6heptane. Method B. IV (2.06 g.) and 6.04 g. o-anisidine refluxed 1.5 hrs. with 17 ml. HCONMe2, chilled overnight with an equal volume of H2O, filtered, and dried gave 3.1 g. 2-methyl-4-(2- methoxyanilino)pyrrolo[2,3-d]pyrimidine, m. 255-6° (decomposition). IV (2 g.) suspended in 40 ml. concentrated NH4OH heated 4.5 hrs. at 145° in a bomb, evaporated, and the product collected gave 1.3 g. 2-methyl-4- aminopyrrolo[2,3d]pyrimidine, m. 305-7° (decomposition). The following 4substituted aminopyrrolo[2,3-d]pyrimidines were thus obtained (2, 7, 3 substituents, m.p., and % yield given): H, Me, NHEt, 157-8°, 88; Me, H, NHEt, 189-90°, 89; Me, H, CMePr, 124-5°, 93; Me, H, 2-thenylamino, 214-15°, 91; Me, H, NHC6H4Me-m, 248°, 98; Me, Me, NHCH2Ph, 147-8°, 90; Me, H, NHCH2Ph, 223-4°, 93; Et, H, NHCH2Ph, 183°, 90; Pr, H, NHCH2Ph, 170-1°, 92; Ph, H, NHCH2Ph, 162-4°, 92. The ultraviolet spectral data of pyrrolo[2,3-d]pyrimidines and precursors were given in a table at pH 1.0 and pH 11.0. Some of the substituted amino compds, had pronounced pharmacol. effects in test animals including anticonvulsant, muscle relaxant, hypotensive and tranquilizer activities.

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	96.56	271.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.26	-13.26

STN INTERNATIONAL LOGOFF AT 08:25:18 ON 06 NOV 2007